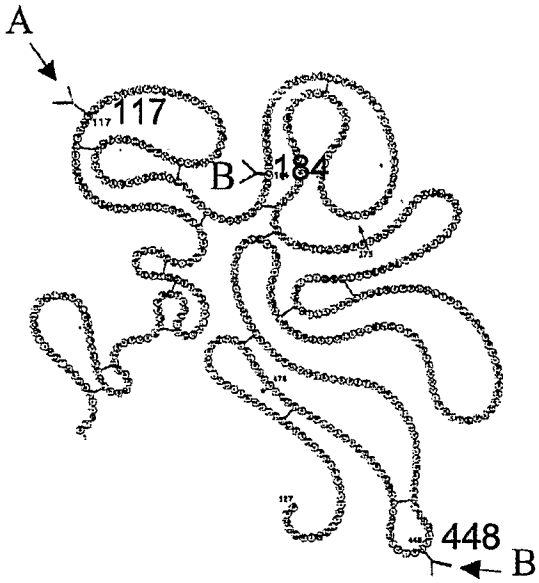
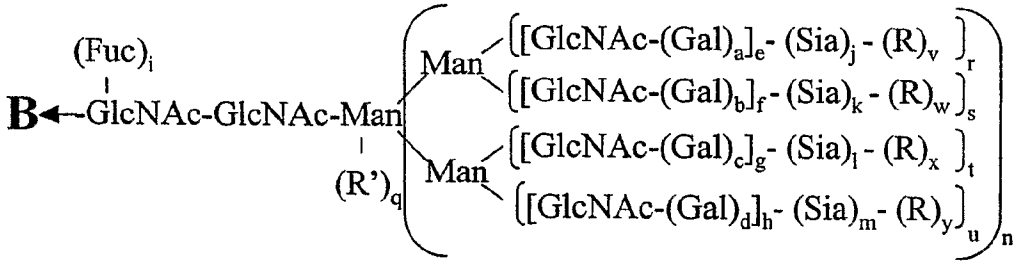
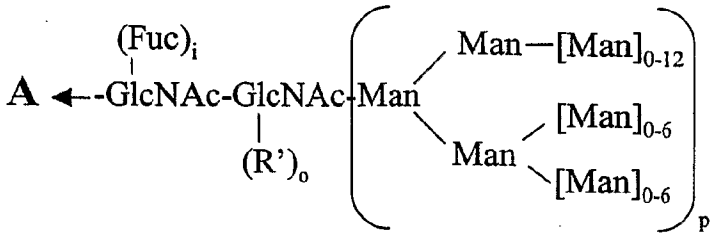






a-d, i, n-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 to 20.
R = polymer; R' = sugar, glycoconjugate.

FIG. 40H

NSO expressed tPA
A = B; a-m, r-u (independently selected) = 0 or 1;
n = 1; o, p, q, v-y = 0

1. sialidase, alpha-galactosidase
2. CMP-SA-levulinate, ST3Gal3,
3. H_4N_2-PEG

A = B; a-m, r-y (independently selected) = 0 or 1;
n = 1; o, p, q = 0;
v-y (independently selected) = 1,
when j-m (independently selected) is 1;
R = PEG.

FIG. 40I

CHO, BHK, 293 cells, Vero expressed tPA
a-g, n, p = 1; h = 1 to 3;
j-m, i, (independently selected) = 0 or 1;
r-u (independently selected) = 0 to 1; q, o, v-y = 0.

1. alpha and beta Mannosidases
2. CMP-SA, ST3Gal3
3. Galactosyltransferase, UDP-Gal-PEG

a-g, n = 1; h = 1 to 3;
i, r-u (independently selected) = 0 or 1; o = 1;
q, p, v-y = 0; j-m (independently selected) = 0 or 1;
R' = Gal-PEG

FIG. 40J

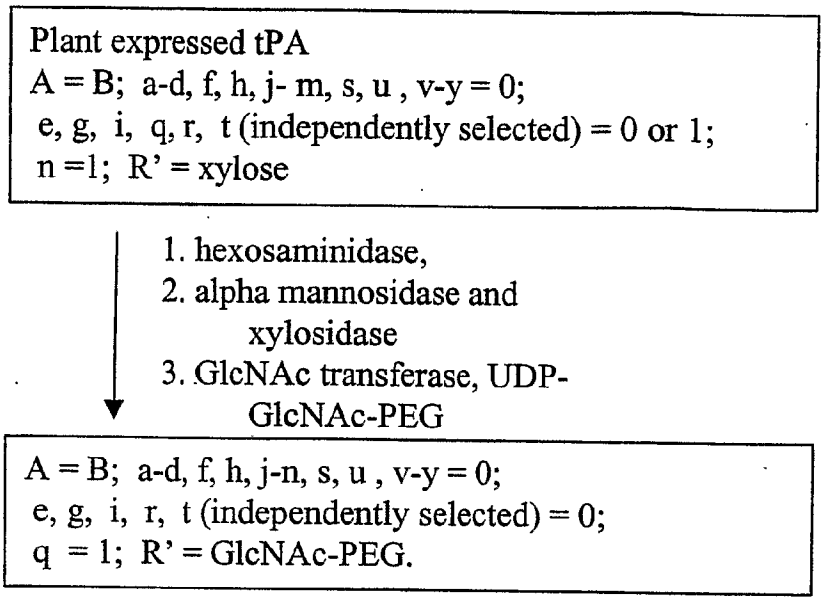
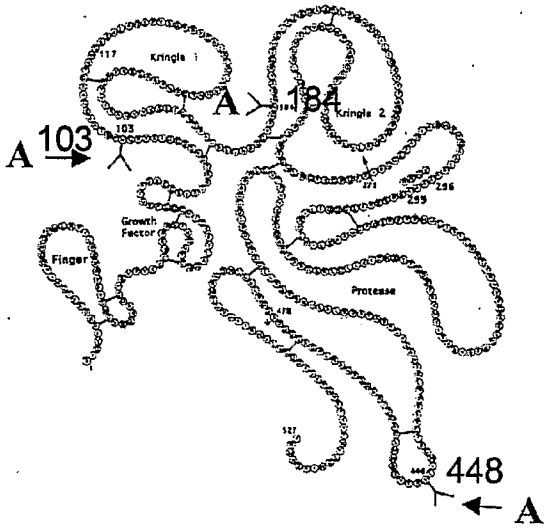
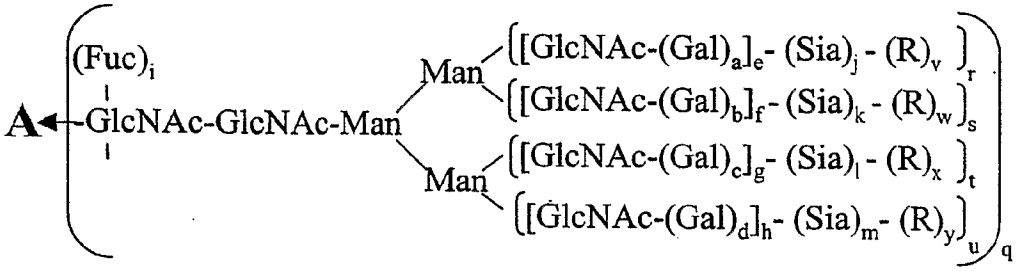

Plant expressed tPA
A = B; a-d, f, h, j- m, s, u , v-y = 0;
e, g, i, q, r, t (independently selected) = 0 or 1;
n =1; R' = xylose
1. hexosaminidase,
2. alpha mannosidase and xylosidase
3. GlcNAc transferase, UDP-GlcNAc-PEG
A = B; a-d, f, h, j-n, s, u , v-y = 0;
e, g, i, r, t (independently selected) = 0;
q = 1; R' = GlcNAc-PEG.

FIG. 40K





a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = polymer.

FIG. 40L

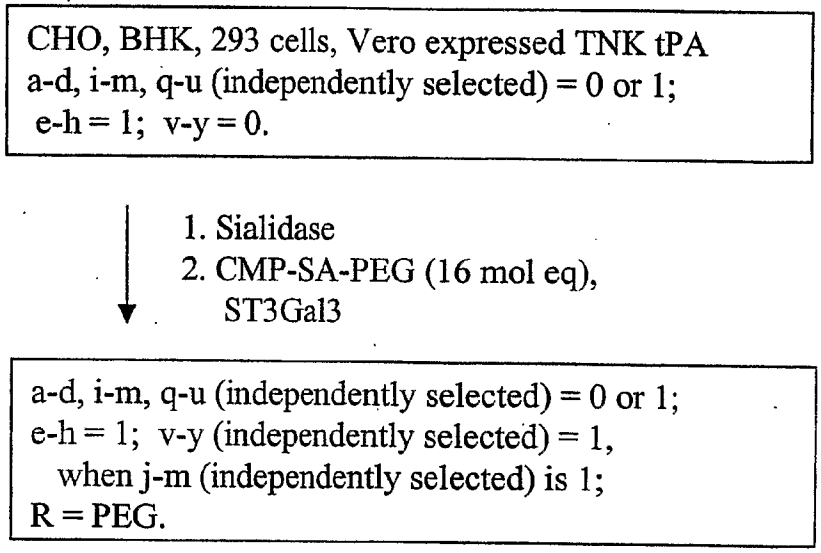


FIG. 40M

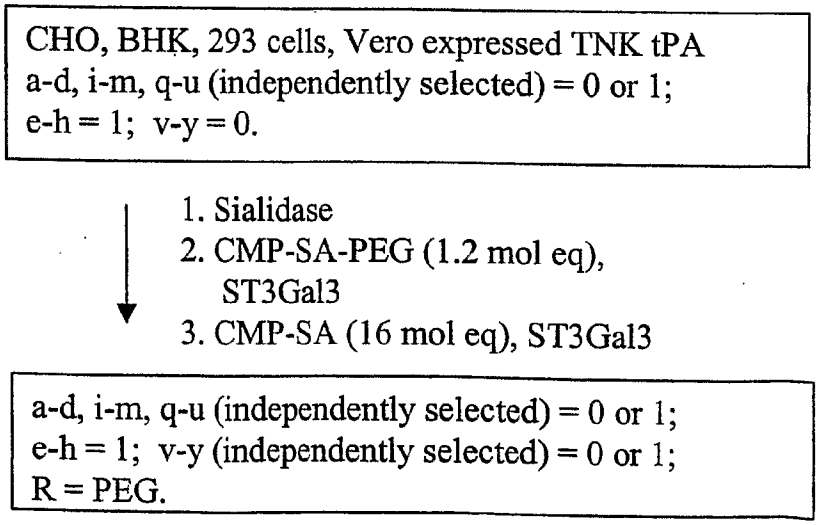


FIG. 40N

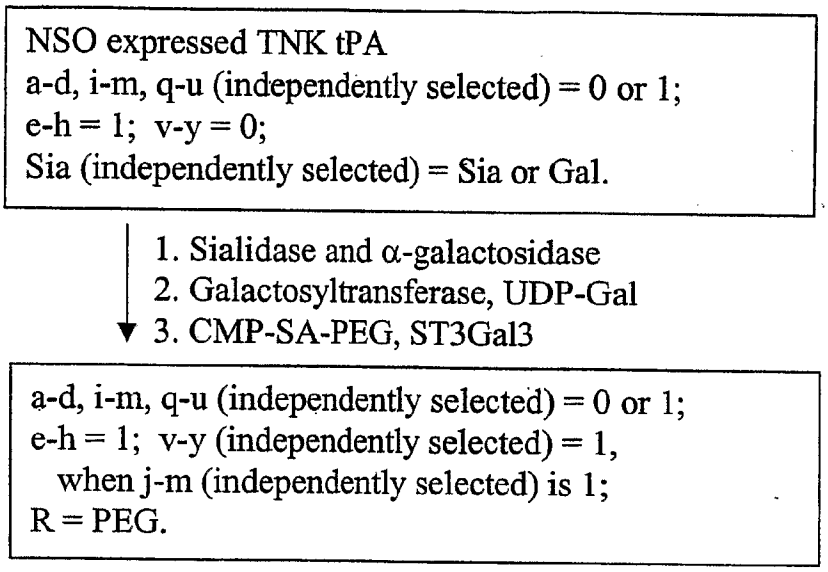
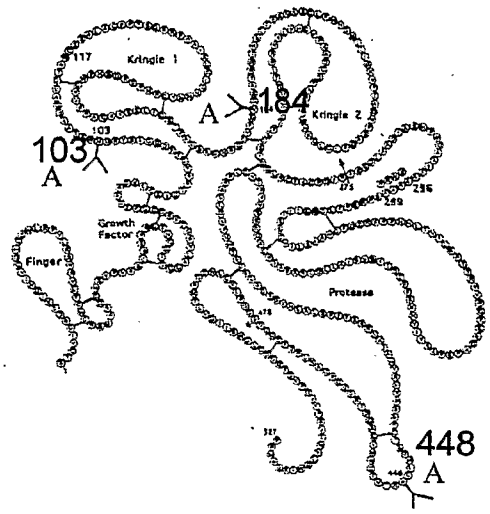
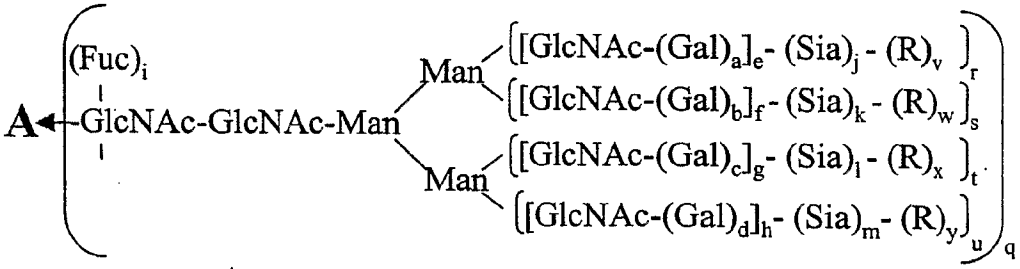

NSO expressed TNK tPA
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0;
Sia (independently selected) = Sia or Gal.
1. Sialidase and α-galactosidase
2. Galactosyltransferase, UDP-Gal
3. CMP-SA-PEG, ST3Gal3
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y (independently selected) = 1,
when j-m (independently selected) is 1;
R = PEG.

FIG. 40O





a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = polymer.

FIG. 40P

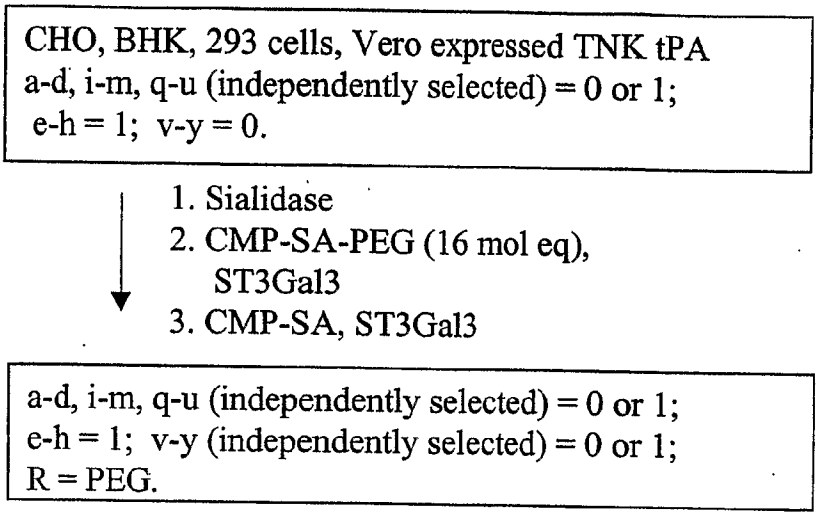


FIG. 40Q

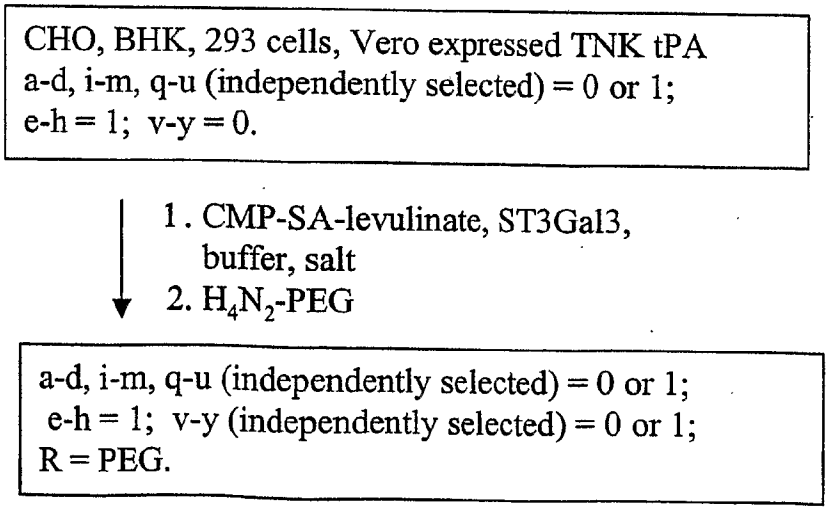


FIG. 40R

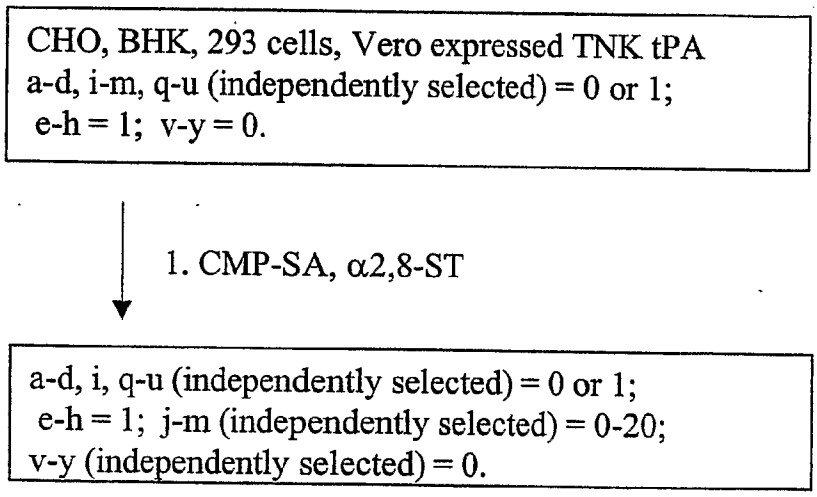
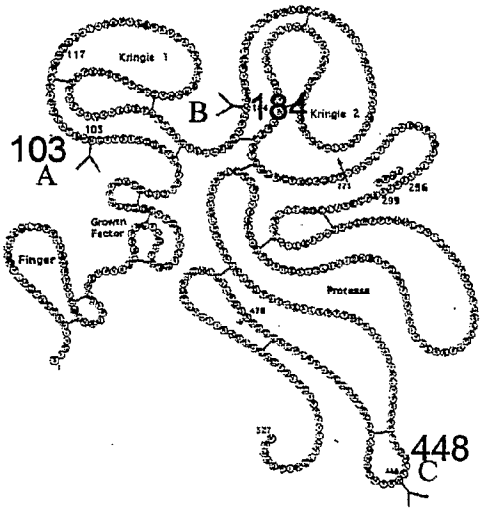
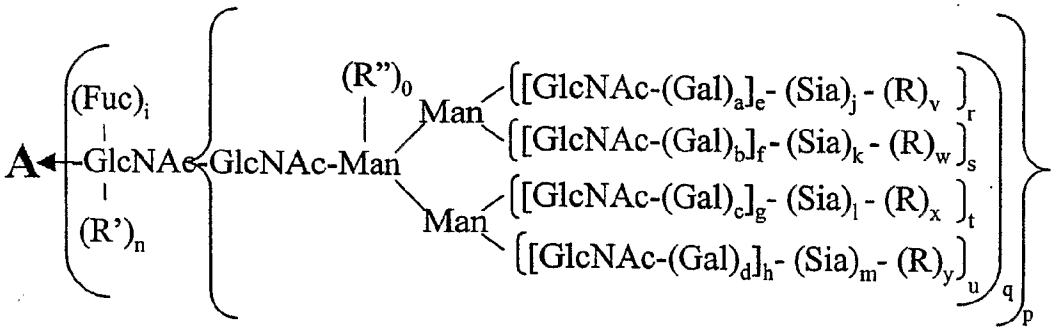

CHO, BHK, 293 cells, Vero expressed TNK tPA
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.
1. CMP-SA, α2,8-ST
a-d, i, q-u (independently selected) = 0 or 1;
e-h = 1; j-m (independently selected) = 0-20;
v-y (independently selected) = 0.

FIG. 40S





a-d, i, n-y (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.
R" = glycosyl residue.

FIG. 40T

Insect cell expressed TNK tPA
a-d, f, h, j-m, s, u, v-y = 0;
e, g, i, q, r, t (independently selected) = 0 or 1.

↓ 1. GNT's 1,2,4,5, UDP-GlcNAc
2. Galactosyltransferase, UDP-Gal-PEG

a-i, q-u (independently selected) = 0 or 1;
j-m = 0; v-y (independently selected) = 1,
when e-h (independently selected) is 1;
R = PEG.

FIG. 40U

Yeast expressed TNK tPA
a-m = 0; q-y (independently selected) = 0 to 1; p = 1;
R (branched or linear) = Man, oligomannose.

↓ 1. Endoglycanase
2. Galactosyltransferase, UDP-Gal-PEG

a-m, p-y = 0; n (independently selected) = 0 or 1;
R' = -Gal-PEG.

FIG. 40V

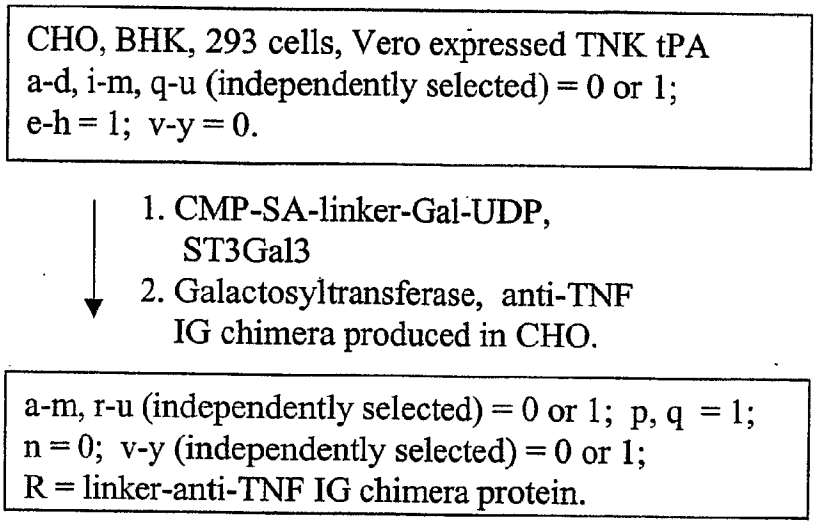
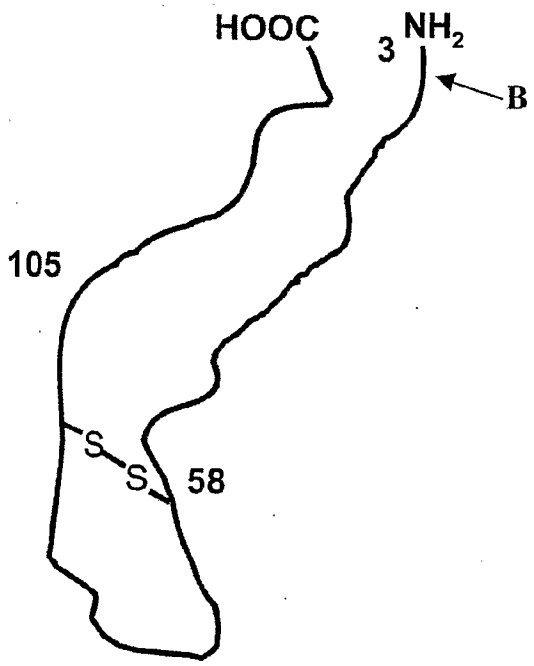
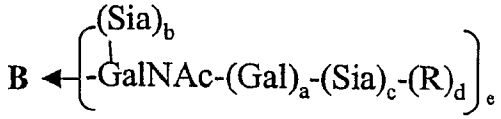

CHO, BHK, 293 cells, Vero expressed TNK tPA
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.
1. CMP-SA-linker-Gal-UDP, ST3Gal3
2. Galactosyltransferase, anti-TNF IG chimera produced in CHO.
a-m, r-u (independently selected) = 0 or 1; p, q = 1;
n = 0; v-y (independently selected) = 0 or 1;
R = linker-anti-TNF IG chimera protein.

FIG. 40W





a-c, e (independently selected) = 0 or 1;
d = 0;
R = modifying group, mannose, oligo-mannose.

FIG. 41A

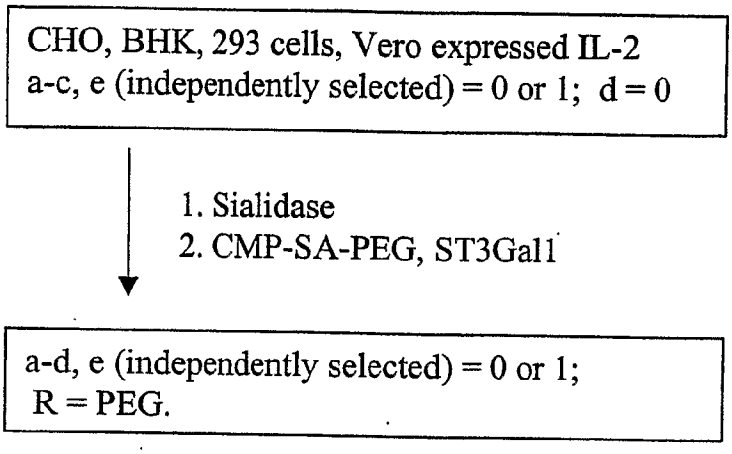


FIG. 41B

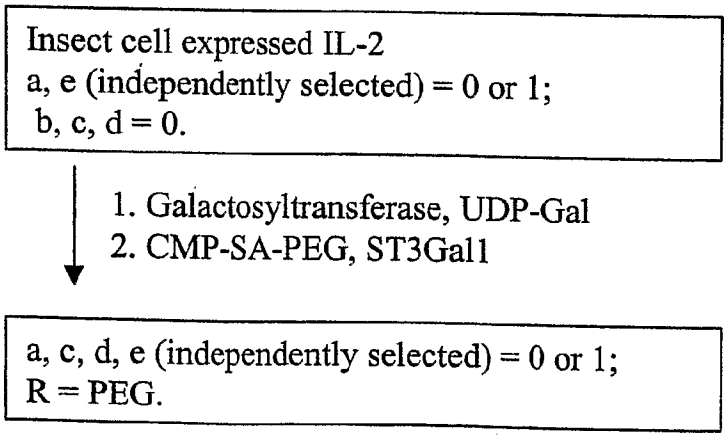


FIG. 41C

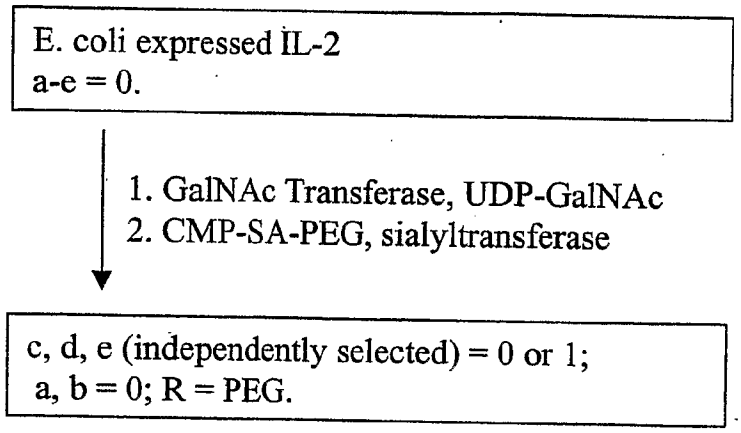


FIG. 41D

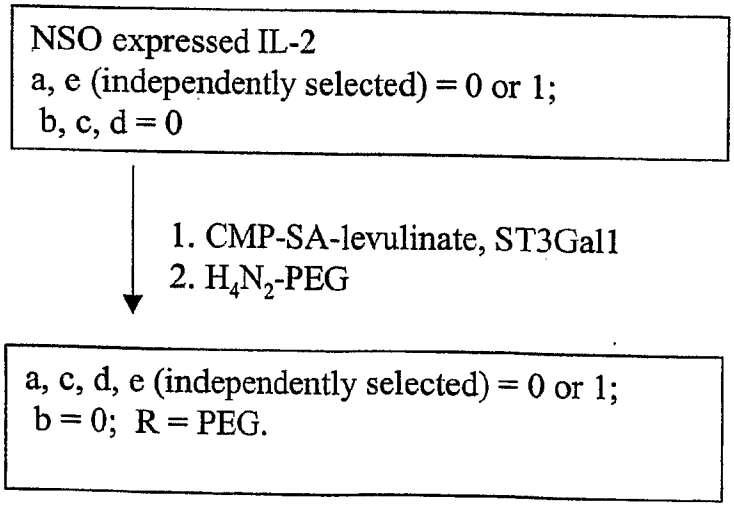


FIG. 41E

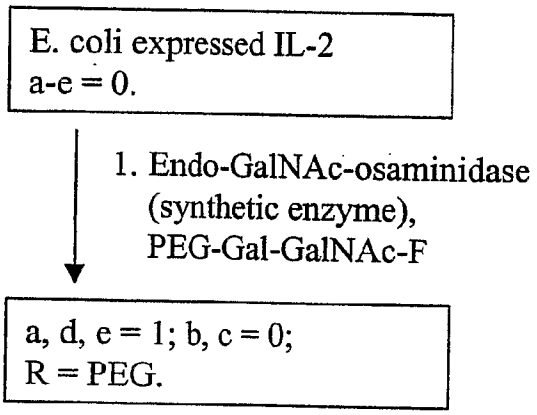


FIG. 41F

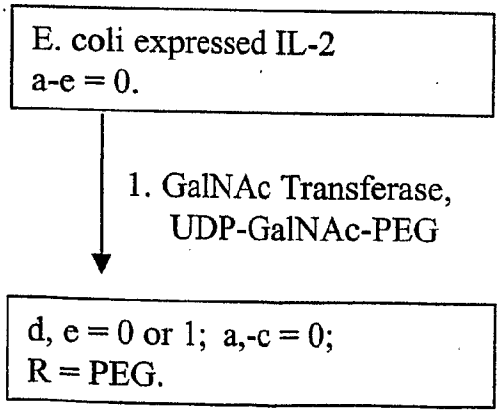
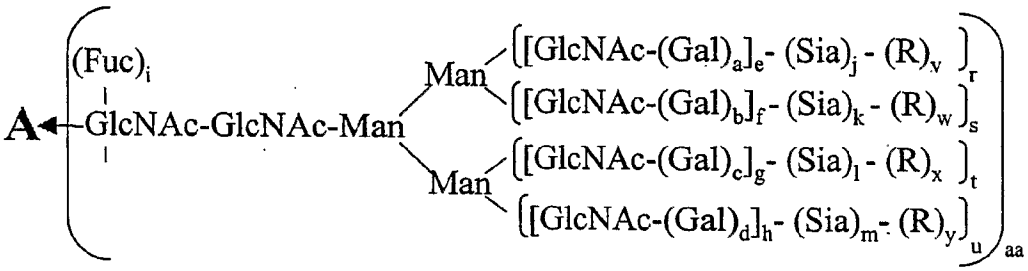
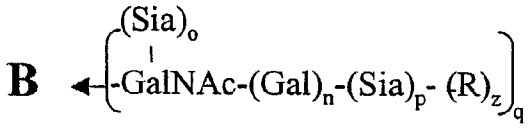
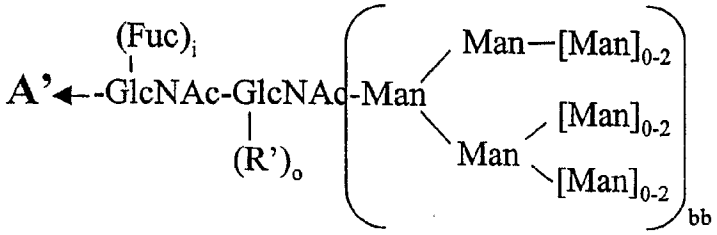


FIG. 41G

2 peptides
A and A' - N-linked sites
B - O-linked sites







Alternate structure for some N-linked structures of A.

a-d, i, n-u (independently selected) = 0 or 1.
aa, bb (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 20.
v-z = 0; R = polymer, glycoconjugate.

FIG. 42A

CHO, BHK, 293s cells, Vero, MDCK, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, a-d, j-m, i, n-u (independently selected) = 0 or 1;
v-z = 0.

↓ 1. Sialidase
2. CMP-SA-PEG, ST3Gal3

e-h = 1 to 4;
aa, bb, a-d, i, n, q-u (independently selected) = 0 or 1;
o, p, z = 0; j-m, v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 42B

CHO, BHK, 293S cells, Vero, MDCK, 293S, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, a-d, j-m, i, n-u (independently selected) = 0 or 1;
v-z = 0.

↓ 1. Sialidase
2. CMP-SA-PEG, ST3Gal3
3. ST3Gal1, CMP-SA

e-h = 1 to 4;
aa, bb, a-d, i, n, p-u (independently selected) = 0 or 1;
o, z = 0; j-m, v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 42C

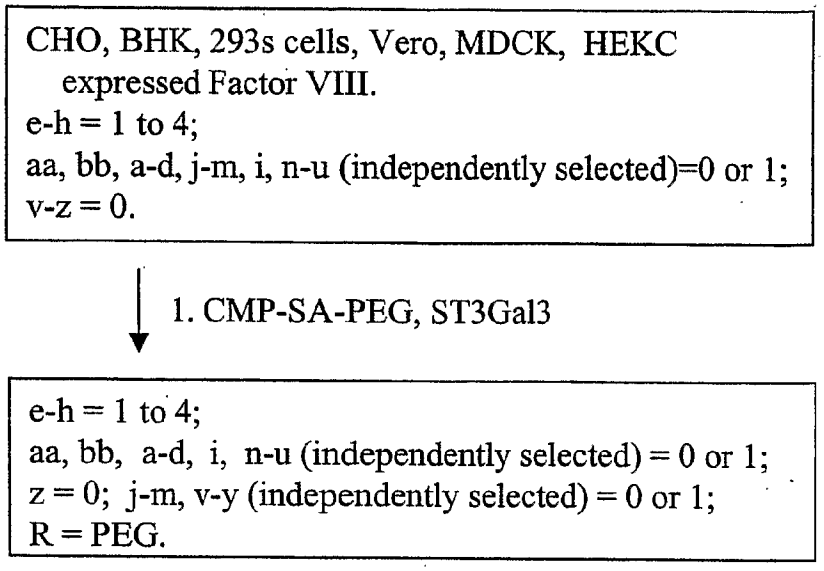


FIG. 42D

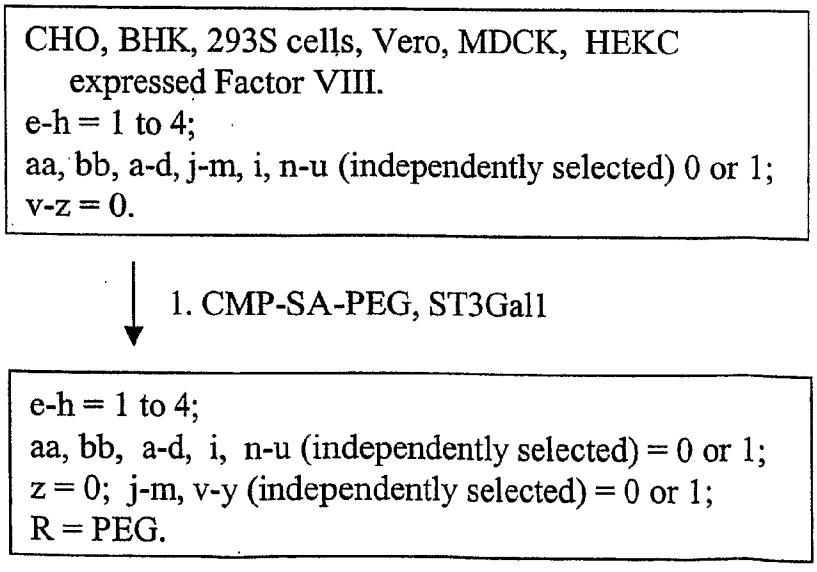


FIG. 42E

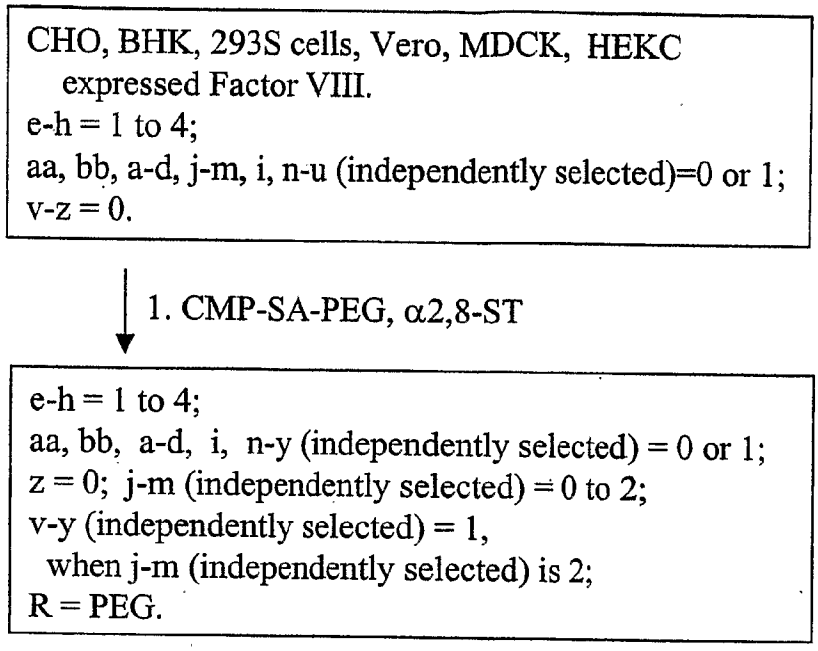
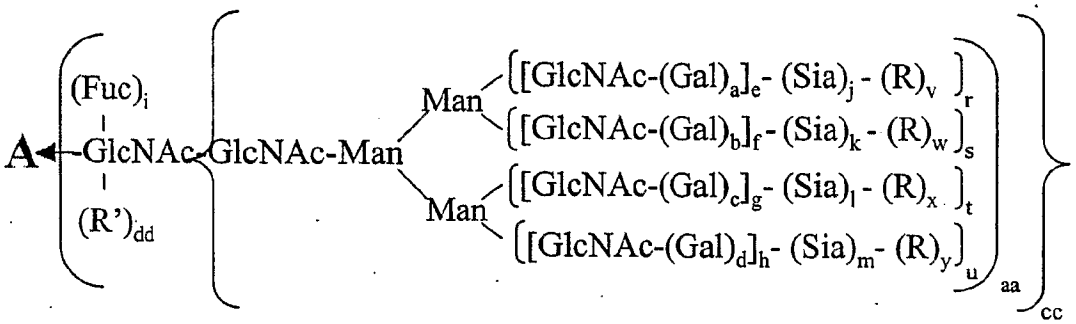
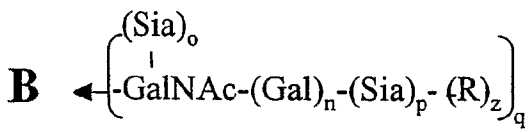
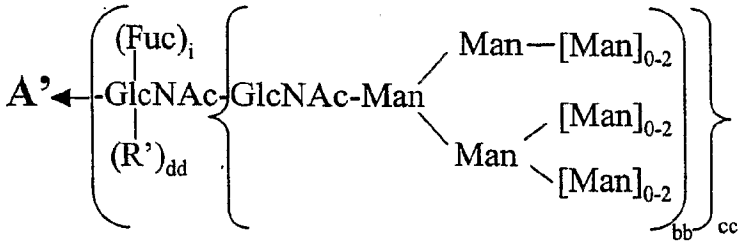

CHO, BHK, 293S cells, Vero, MDCK, HEKC
expressed Factor VIII.
e-h = 1 to 4;
aa, bb, a-d, j-m, i, n-u (independently selected)=0 or 1;
v-z = 0.
1. CMP-SA-PEG, α2,8-ST
e-h = 1 to 4;
aa, bb, a-d, i, n-y (independently selected) = 0 or 1;
z = 0; j-m (independently selected) = 0 to 2;
v-y (independently selected) = 1,
when j-m (independently selected) is 2;
R = PEG.

FIG. 42F

2 peptides
A or A' - N-linked sites
B - O-linked sites







Alternate structure for some N-linked structures of A.

a-d, i, n-u, (independently selected) = 0 or 1.
aa, bb, cc, dd (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 20.
v-z = 0;
R = modifying group, mannose, oligo-mannose.
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 42G

CHO, BHK, 293S cells, Vero, MDCK, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, cc, a-d, j-m, i, n-u (independently selected) = 0 or 1;
dd, v-z = 0.

↓ 1. CMP-SA-levulinate, ST3Gal3,
2. H_4N_2-PEG

e-h = 1 to 4;
aa, bb, cc, a-d, i, n-u (independently selected) = 0 or 1;
dd, z = 0; j-m, v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 42H

CHO, BHK, 293S cells, Vero, MDCK, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, cc, a-d, j-m, i, n-u (independently selected) = 0 or 1;
dd, v-z = 0.

↓ 1. endo-H
2. galactosyltransferase, UDP-Gal-PEG

e-h = 1 to 4;
aa, bb, dd, a-d, i, j-u (independently selected) = 0 or 1;
cc, v-z = 0; R' = -Gal-PEG.

FIG. 42I

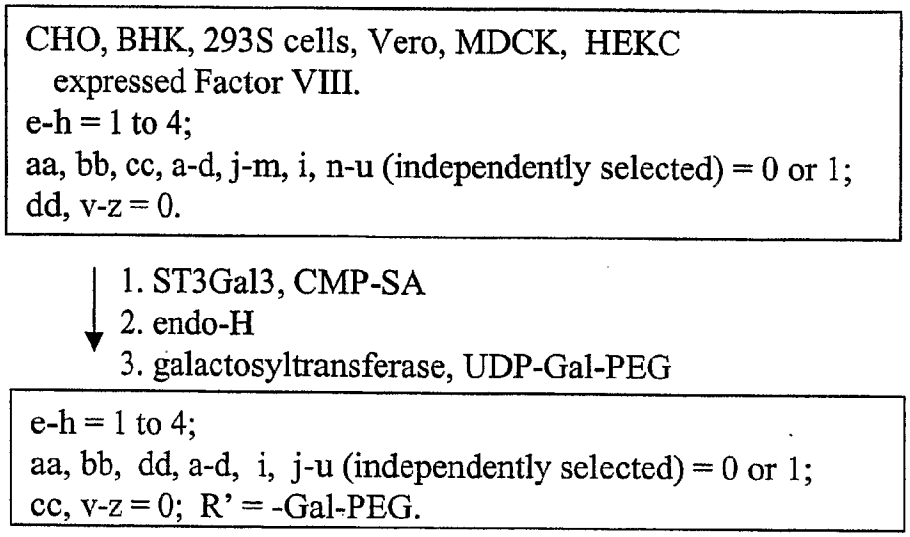


FIG. 42J

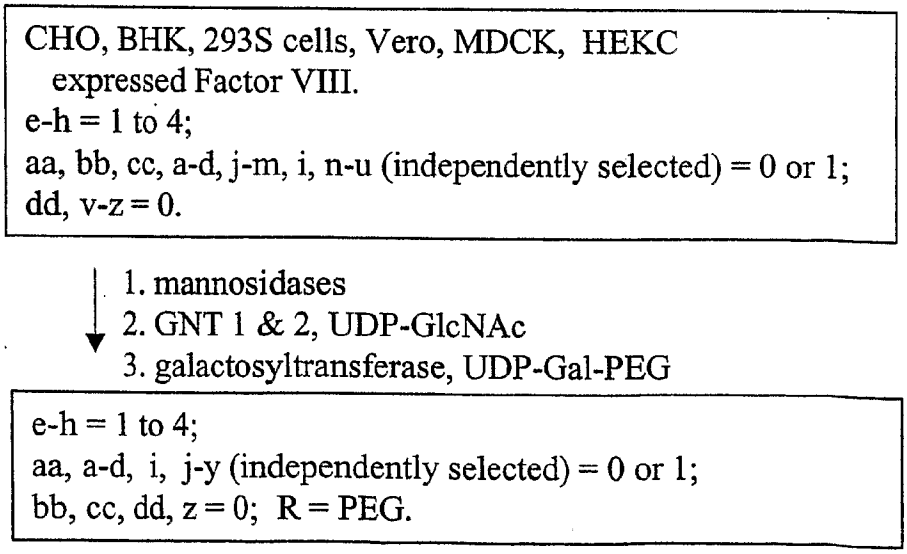


FIG. 42K

CHO, BHK, 293S cells, Vero, MDCK, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, cc, a-d, j-m, i, n-u (independently selected) = 0 or 1;
dd, v-z = 0.

1. mannosidases
2. GNT-1,2, 4 & 5; UDP-GlcNAc
3. galactosyltransferase, UDP-Gal
4. ST3Gal3, CMP-SA

e-h = 1 to 4;
aa, bb, cc, a-d, i, j-q (independently selected) = 0 or 1;
dd, v-z = 0.

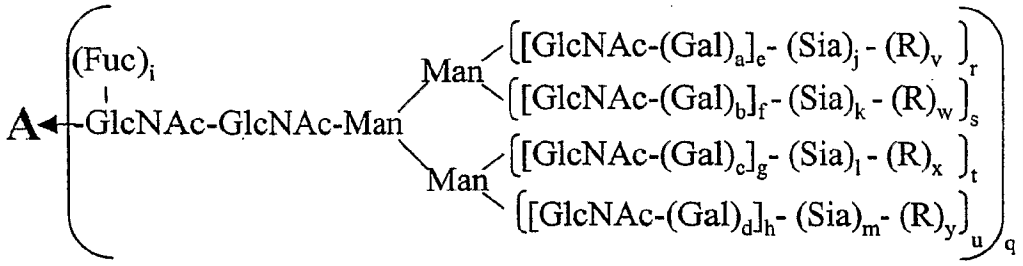
FIG. 42L

CHO, BHK, 293S cells, Vero, MDCK, HEKC expressed Factor VIII.
e-h = 1 to 4;
aa, bb, cc, a-d, j-m, i, n-u (independently selected) = 0 or 1;
dd, v-z = 0.

1. mannosidases
2. GNT-1, UDP-GlcNAc-PEG

e-h = 0 to 4;
aa, a-d, i, j-y (independently selected) = 0 or 1;
bb, cc, dd, z = 0.

FIG. 42M



a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = polymer.

FIG. 43A

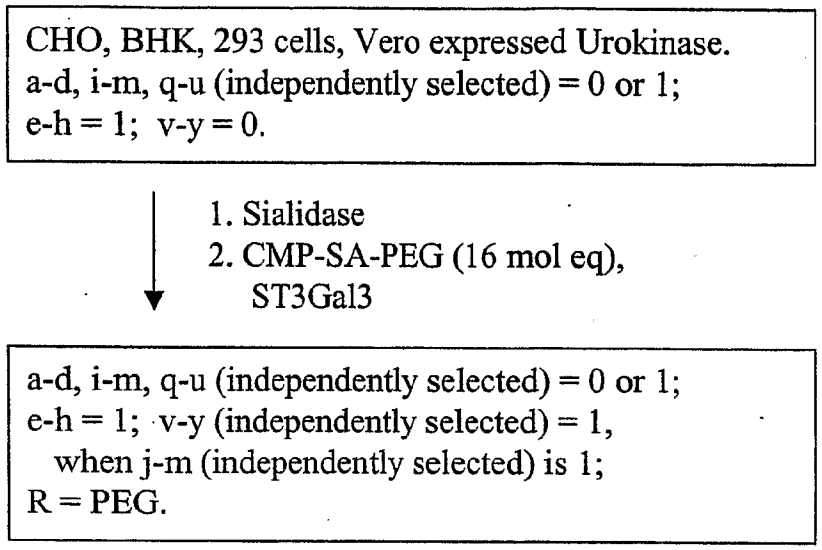


FIG. 43B

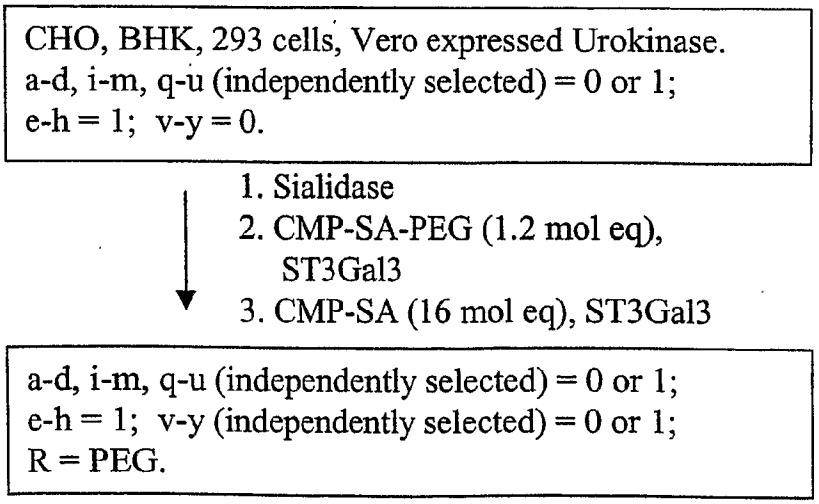


FIG. 43C

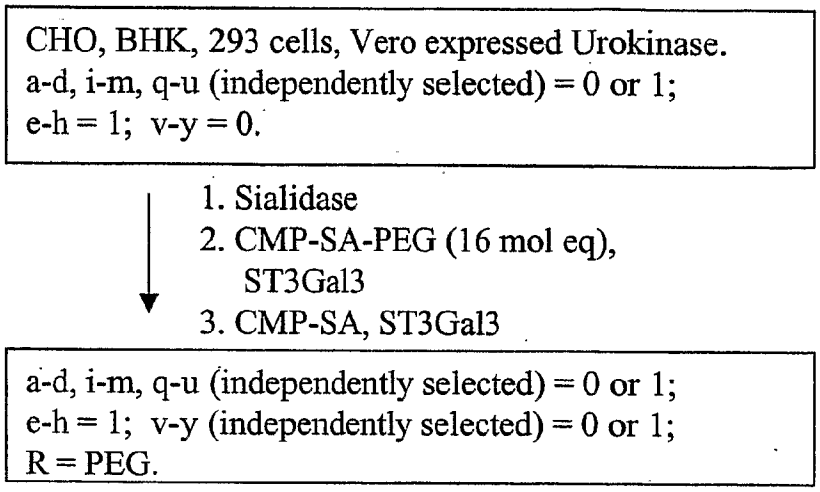


FIG. 43D

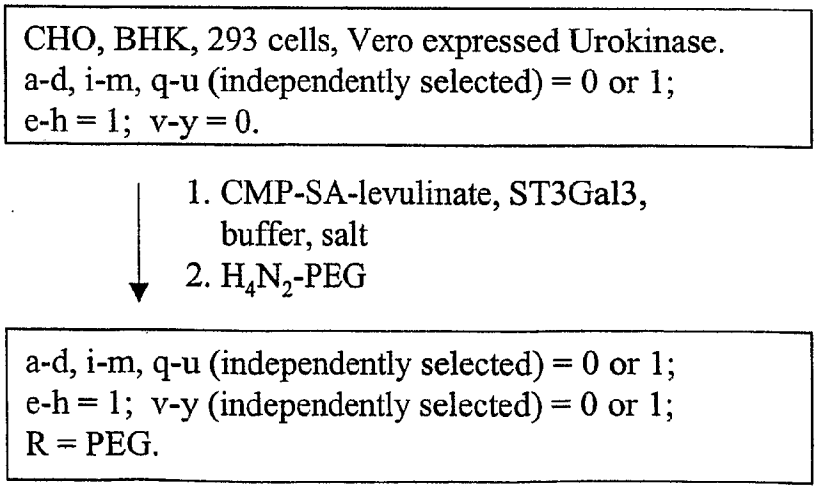


FIG. 43E

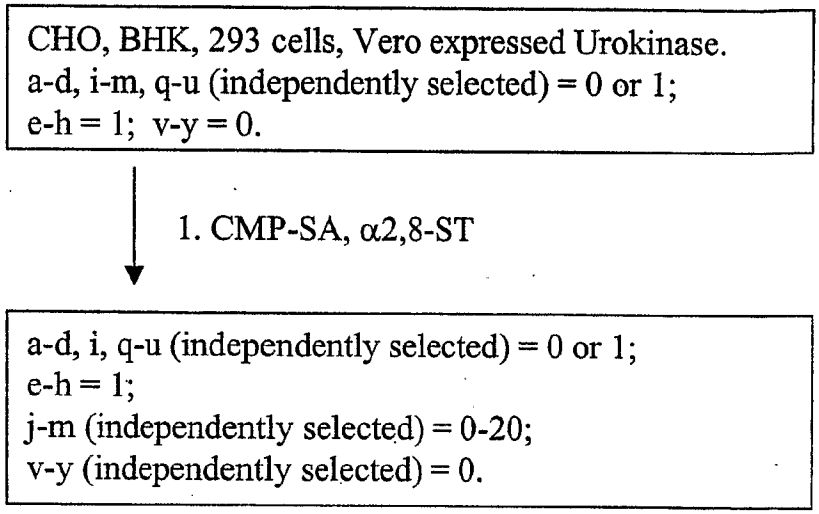
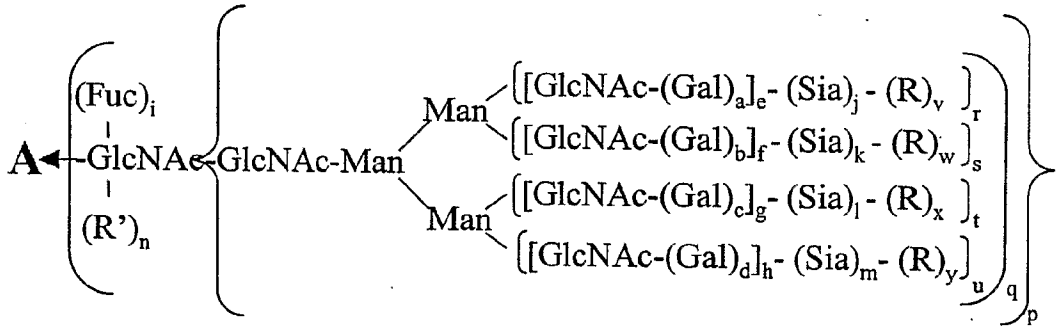

CHO, BHK, 293 cells, Vero expressed Urokinase.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.
1. CMP-SA, α2,8-ST
a-d, i, q-u (independently selected) = 0 or 1;
e-h = 1;
j-m (independently selected) = 0-20;
v-y (independently selected) = 0.

FIG. 43F



a-d, i, n, p-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 43G

Insect cell expressed Urokinase.
a-d, f, h, j-n, s, u, v-y = 0;
e, g, i, q, r, t (independently selected) = 0 or 1.

↓ 1. GNT's 1,2,4,5, UDP-GlcNAc
2. Galactosyltransferase, UDP-Gal-PEG

a-i, q-u (independently selected) = 0 or 1;
j-n = 0; v-y (independently selected) = 1,
when e-h (independently selected) is 1;
R = PEG.

FIG. 43H

Yeast expressed Urokinase.
a-n = 0;
q-y (independently selected) = 0 to 1;
p = 1; R (branched or linear) = Man, oligomannose.

↓ 1. Endoglycanase
2. Galactosyltransferase, UDP-Gal
3. CMP-SA-PEG, ST3Gal3

a-m, p-y = 0; n (independently selected) = 0 or 1;
R' = -Gal-Sia-PEG.

FIG. 43I

CHO, BHK, 293 cells, Vero expressed Urokinase.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; n, v-y = 0.

↓
1. CMP-SA-linker-SA-CMP, ST3Gal3
2. ST3Gal1, desialylated Urokinase produced in CHO.
3. CMP-SA, ST3Gal3, ST3Gal1

a-m, q-u (independently selected) = 0 or 1;
p = 1; n = 0;
v-y (independently selected) = 0 or 1;
R = linker-Urokinase.

FIG. 43J

Isolated Urokinase.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0; n = 0;
Sia (independently selected) = Sia or SO_4;
Gal (independently selected) = Gal or GalNAc;
GlcNAc (independently selected) = GlcNAc or GlcNAc-Fuc.

↓
1. sulfohydrolase
2. CMP-SA-PEG, sialyltransferase

a-d, i-m, q-u (independently selected) = 0 or 1;
n = 0; e-h = 1; Sia = Sia;
Gal (independently selected) = Gal or GalNAc;
GlcNAc (independently selected) = GlcNAc or GlcNAc-Fuc.
v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 43K

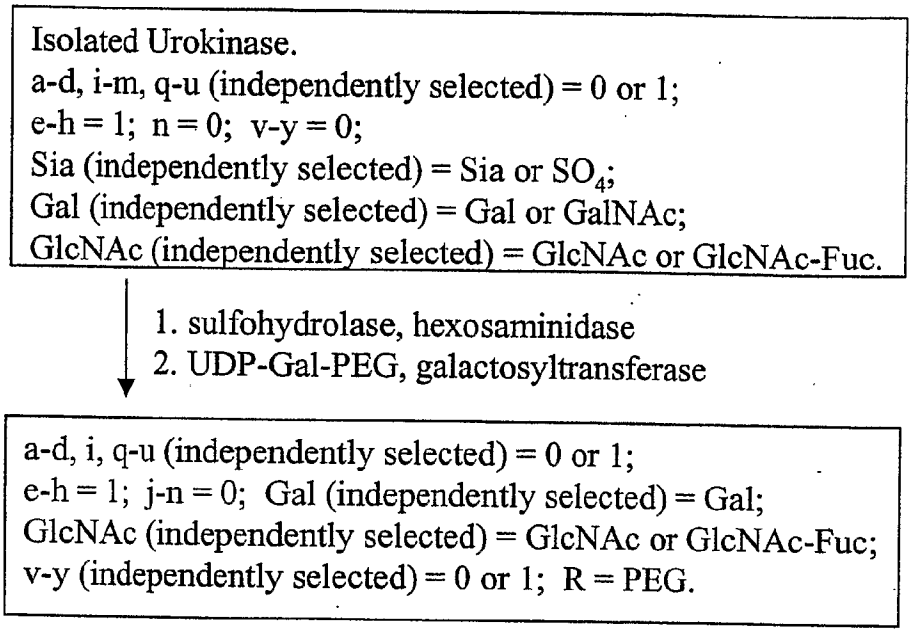
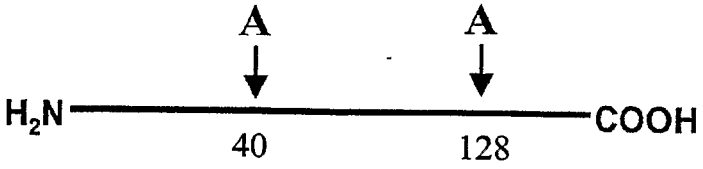
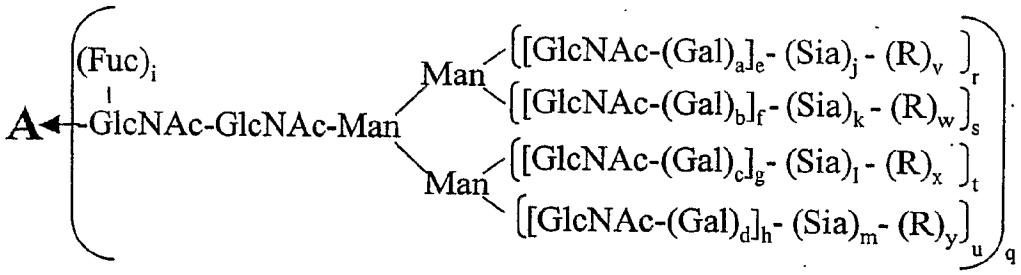

Isolated Urokinase.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; n = 0; v-y = 0;
Sia (independently selected) = Sia or SO_4;
Gal (independently selected) = Gal or GalNAc;
GlcNAc (independently selected) = GlcNAc or GlcNAc-Fuc.
1. sulfohydrolase, hexosaminidase
2. UDP-Gal-PEG, galactosyltransferase
a-d, i, q-u (independently selected) = 0 or 1;
e-h = 1; j-n = 0; Gal (independently selected) = Gal;
GlcNAc (independently selected) = GlcNAc or GlcNAc-Fuc;
v-y (independently selected) = 0 or 1; R = PEG.

FIG. 43L





a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = polymer, glycoconjugate.

FIG. 44A

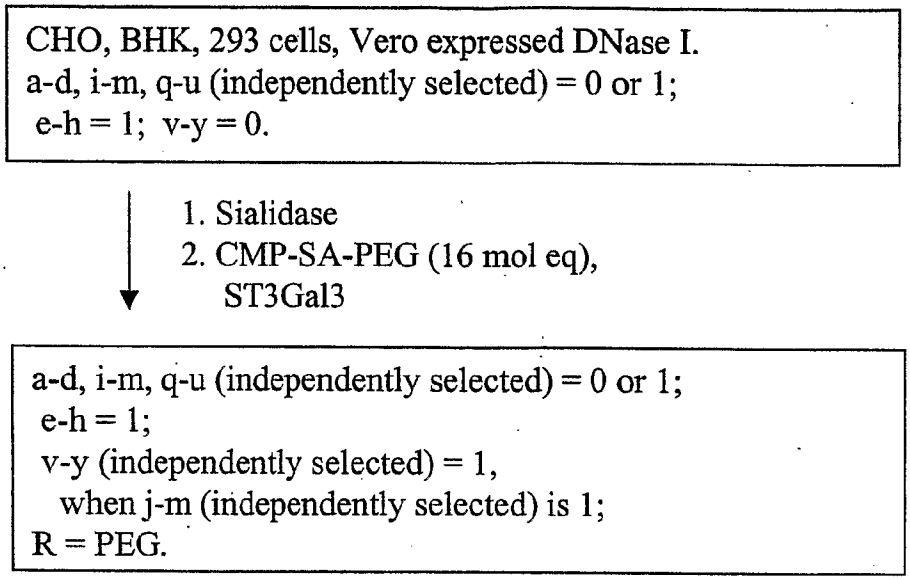


FIG. 44B

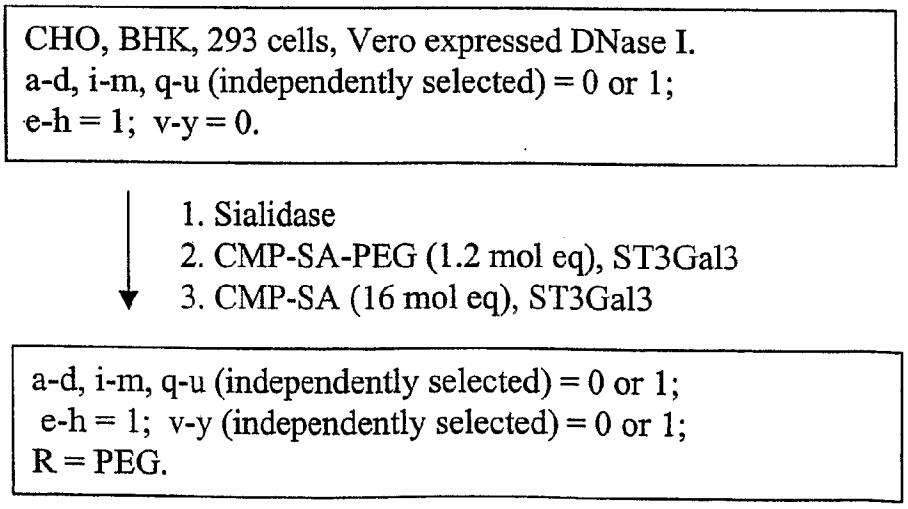


FIG. 44C

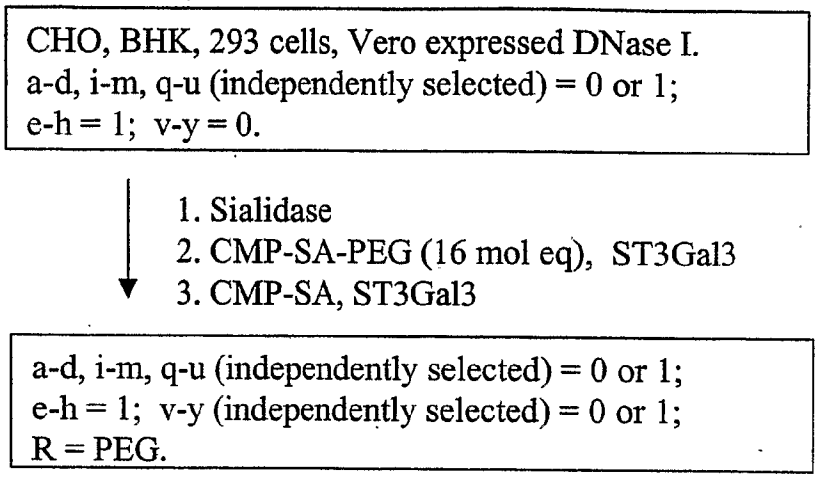


FIG. 44D

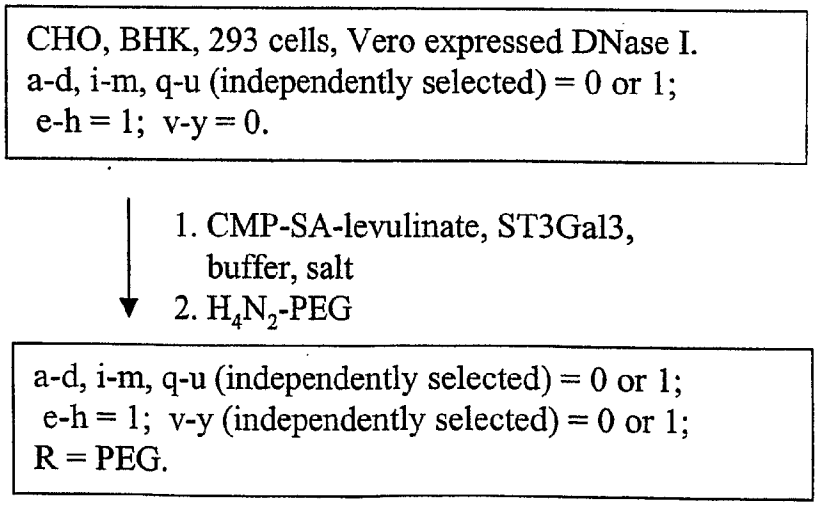


FIG. 44E

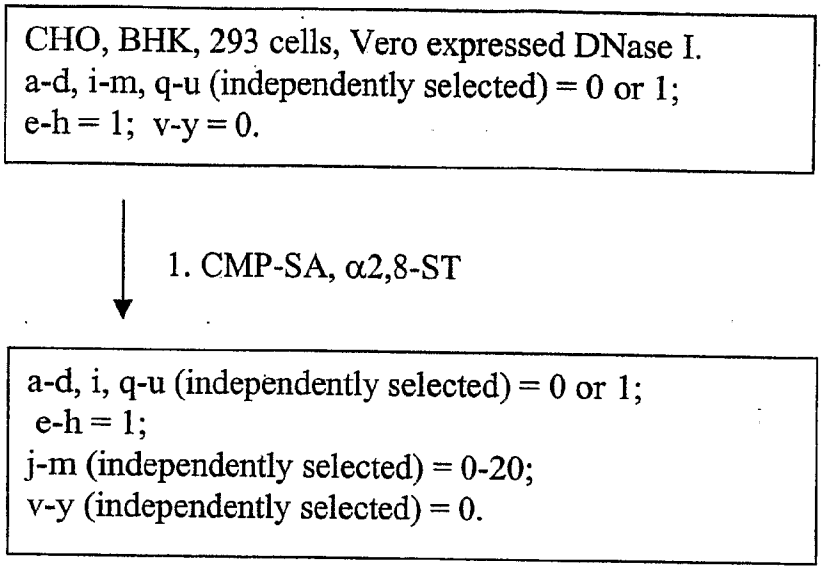
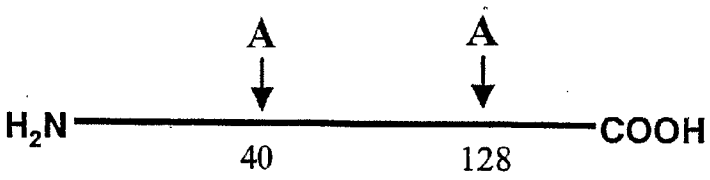
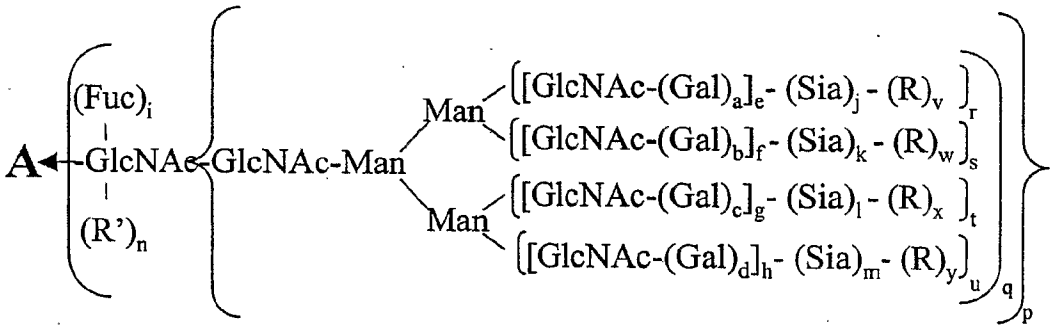

CHO, BHK, 293 cells, Vero expressed DNase I.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.
1. CMP-SA, α2,8-ST
a-d, i, q-u (independently selected) = 0 or 1;
e-h = 1;
j-m (independently selected) = 0-20;
v-y (independently selected) = 0.

FIG. 44F





a-d, i, n, p-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 44G

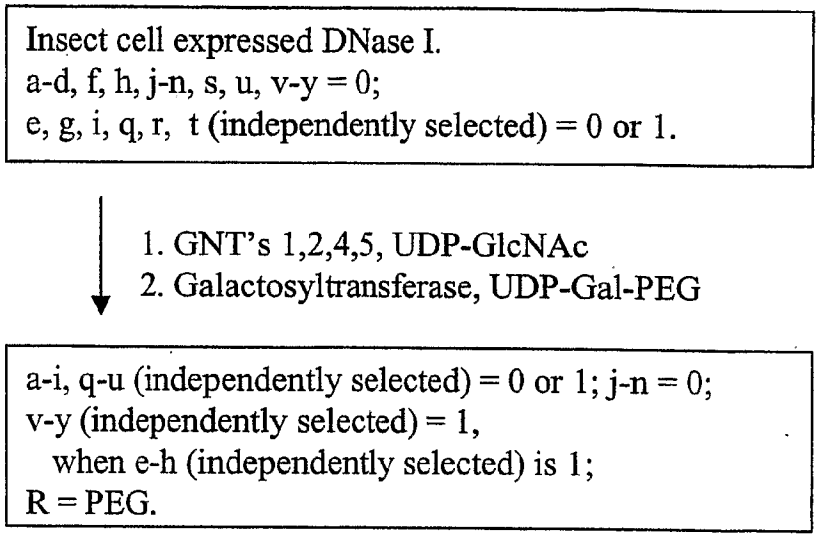


FIG. 44H

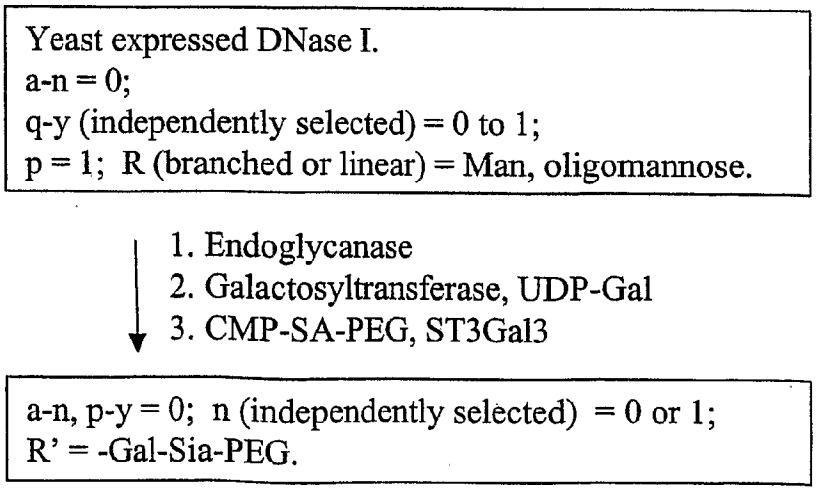


FIG. 44I

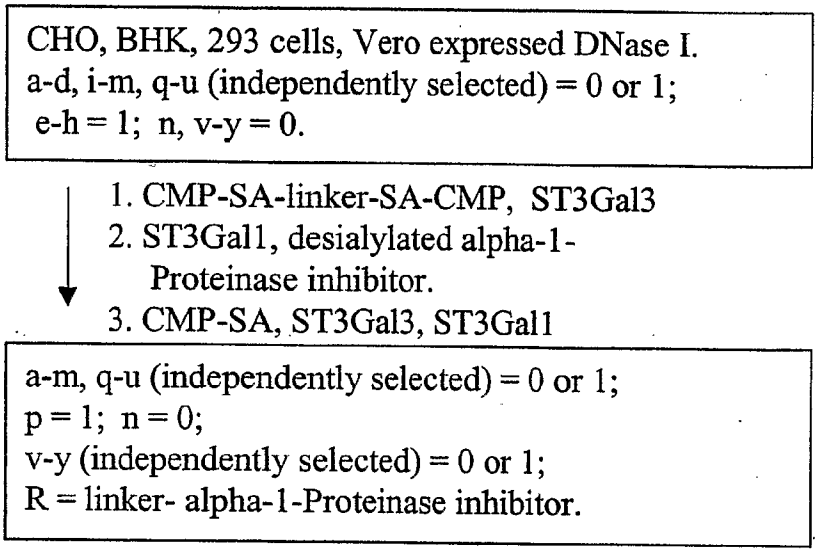
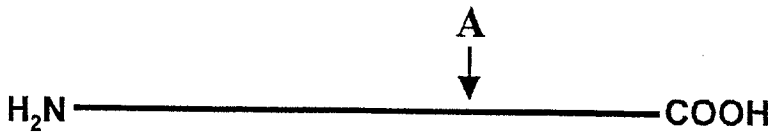
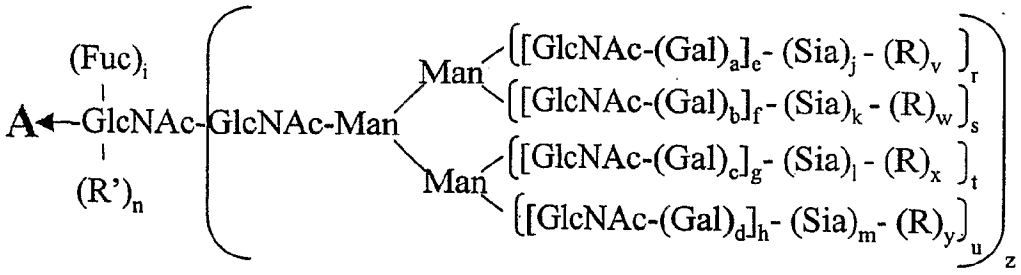

CHO, BHK, 293 cells, Vero expressed DNase I.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; n, v-y = 0.
1. CMP-SA-linker-SA-CMP, ST3Gal3
2. ST3Gal1, desialylated alpha-1-
Proteinase inhibitor.
3. CMP-SA, ST3Gal3, ST3Gal1
a-m, q-u (independently selected) = 0 or 1;
p = 1; n = 0;
v-y (independently selected) = 0 or 1;
R = linker- alpha-1-Proteinase inhibitor.

FIG. 44J





a-d, i, r-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 45A

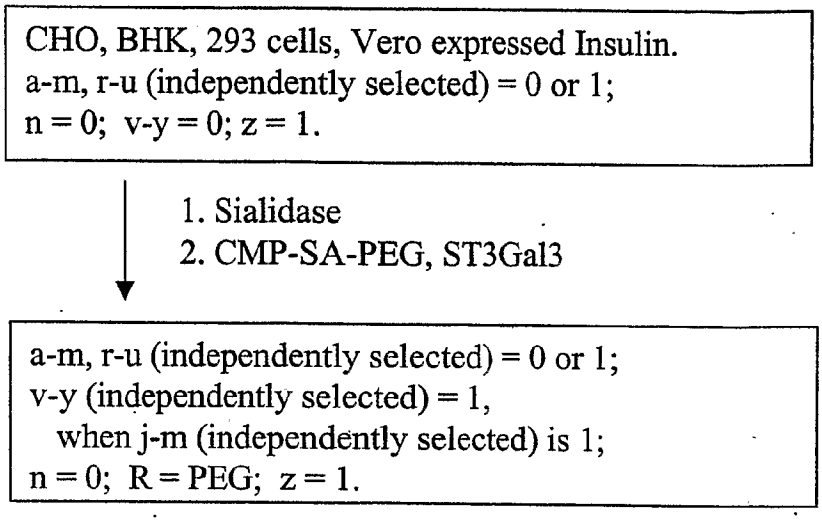


FIG. 45B

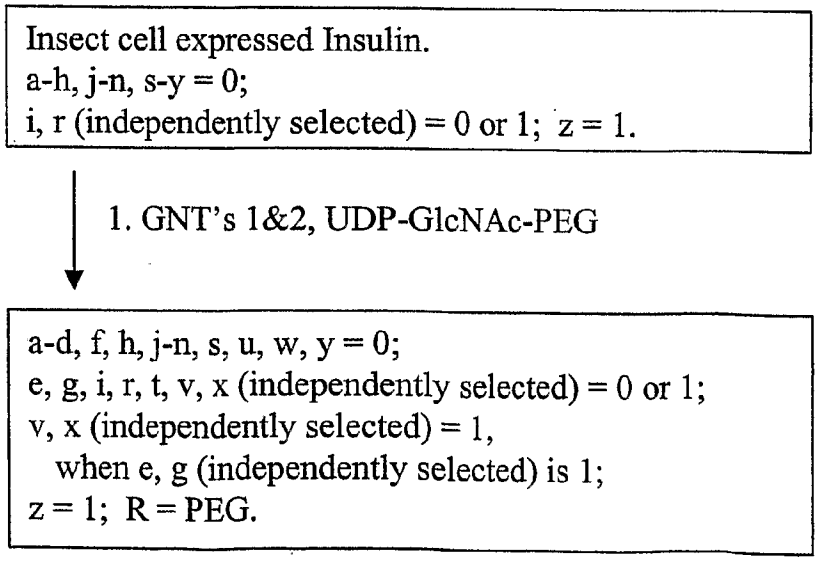


FIG. 45C

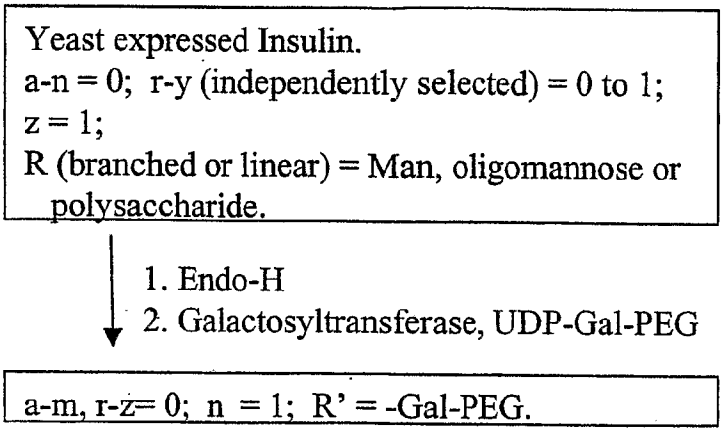
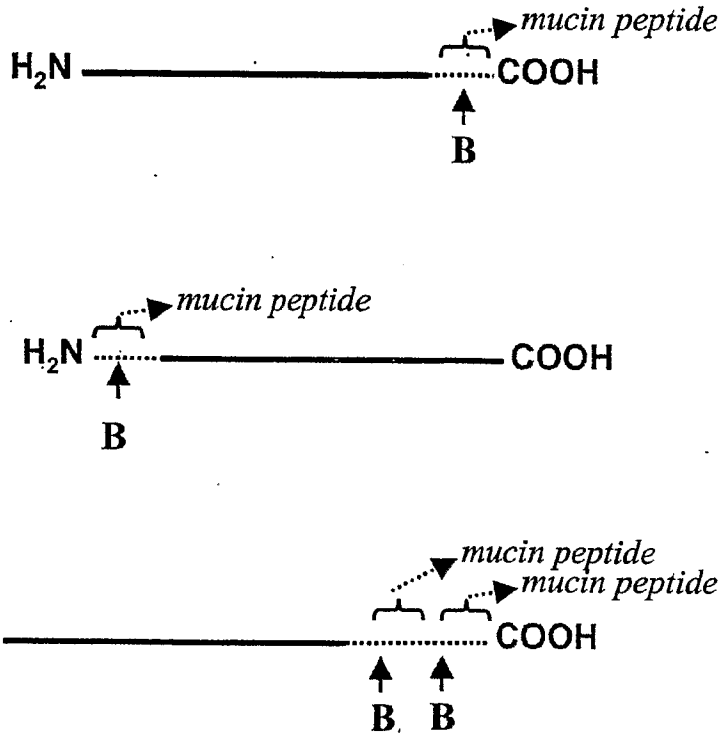
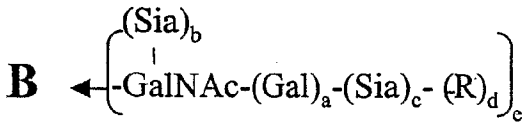

Yeast expressed Insulin.
a-n = 0; r-y (independently selected) = 0 to 1;
z = 1;
R (branched or linear) = Man, oligomannose or polysaccharide.
1. Endo-H
2. Galactosyltransferase, UDP-Gal-PEG
a-m, r-z= 0; n = 1; R' = -Gal-PEG.

FIG. 45D





a-c, e (independently selected) = 0 or 1;
d = 0; R = polymer

FIG. 45E

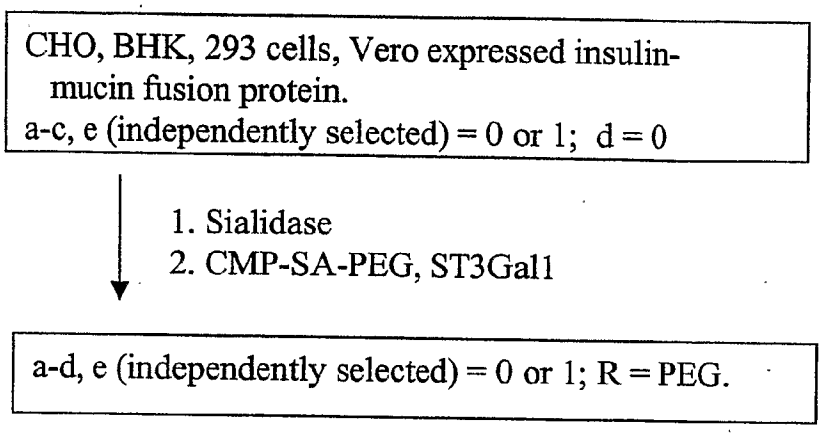


FIG. 45F

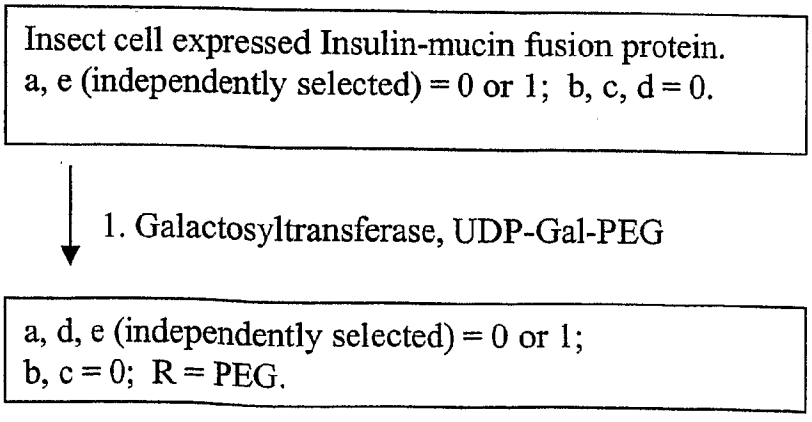


FIG. 45G

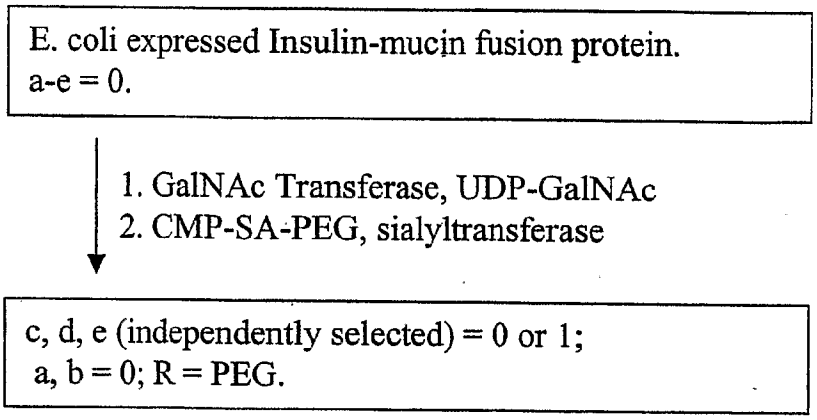
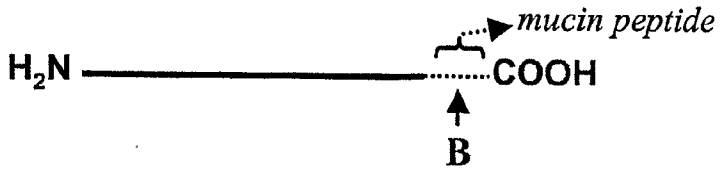
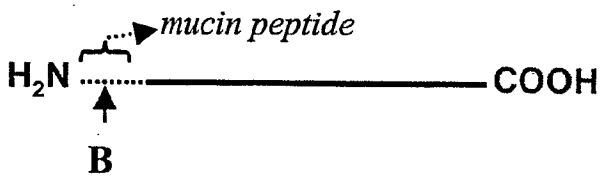
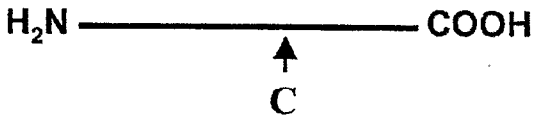
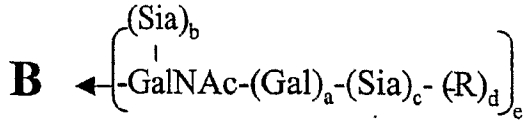

E. coli expressed Insulin-mucin fusion protein.
a-e = 0.
1. GalNAc Transferase, UDP-GalNAc
2. CMP-SA-PEG, sialyltransferase
c, d, e (independently selected) = 0 or 1;
a, b = 0; R = PEG.

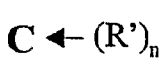
FIG. 45H











a-c, e (independently selected) = 0 or 1;
d = 0; R = modifying group, mannose, oligo-mannose.

FIG. 45I

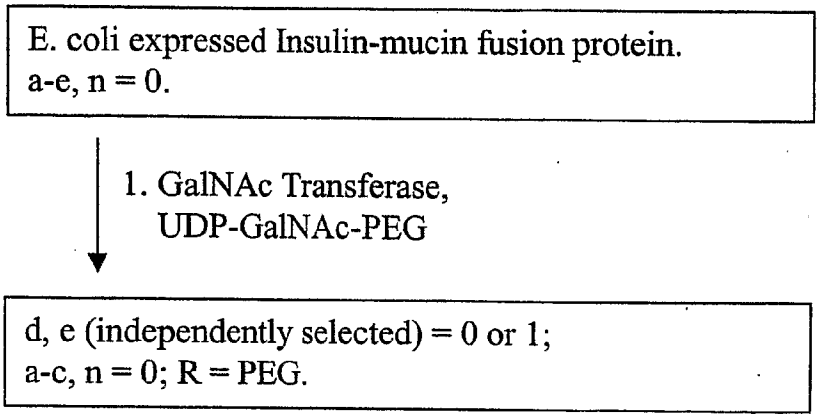


FIG. 45J

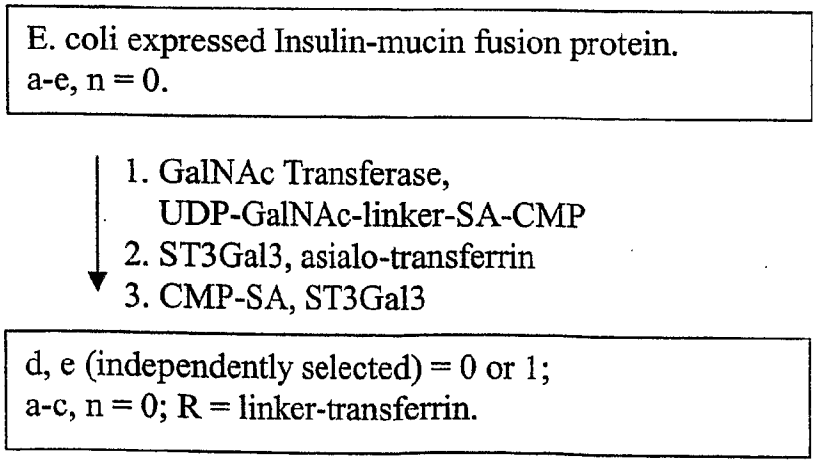


FIG. 45K

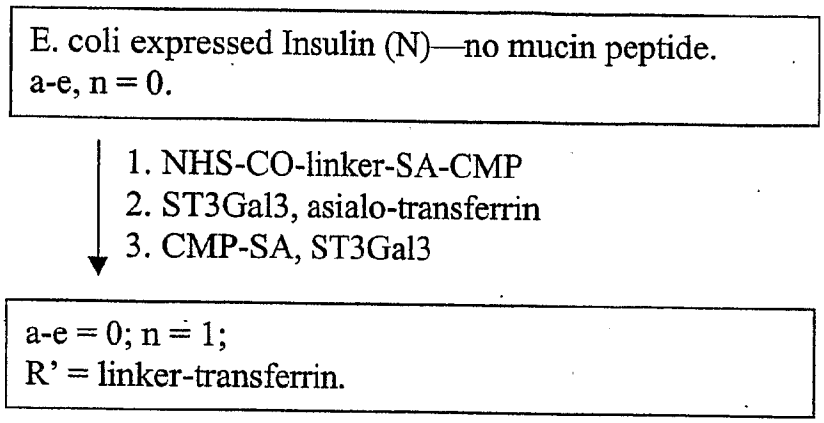
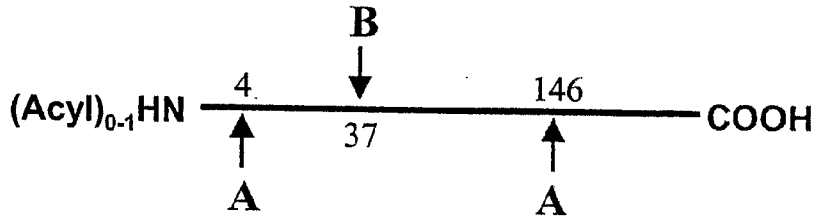
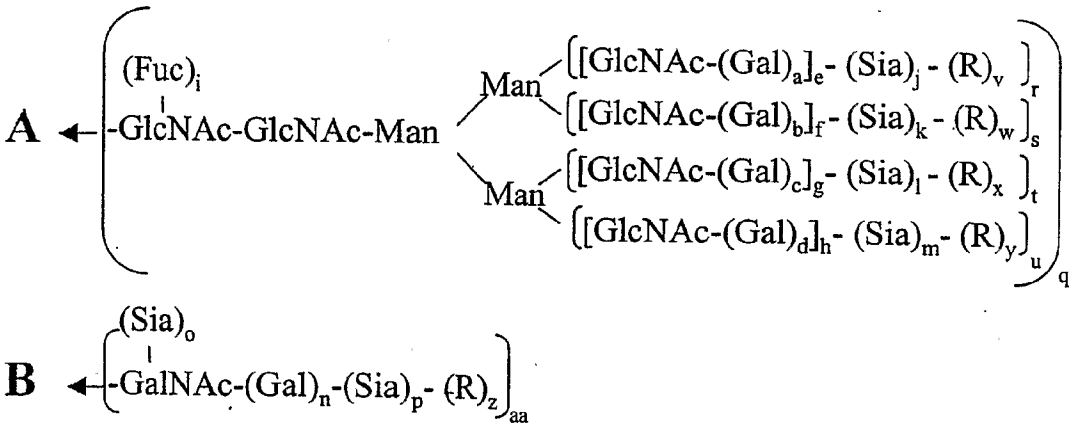

E. coli expressed Insulin (N)—no mucin peptide.
a-e, n = 0.
1. NHS-CO-linker-SA-CMP
2. ST3Gal3, asialo-transferrin
3. CMP-SA, ST3Gal3
a-e = 0; n = 1;
R' = linker-transferrin.

FIG. 45L





a-d, i, n-u, aa (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = polymer, glycoconjugate.

FIG. 46A

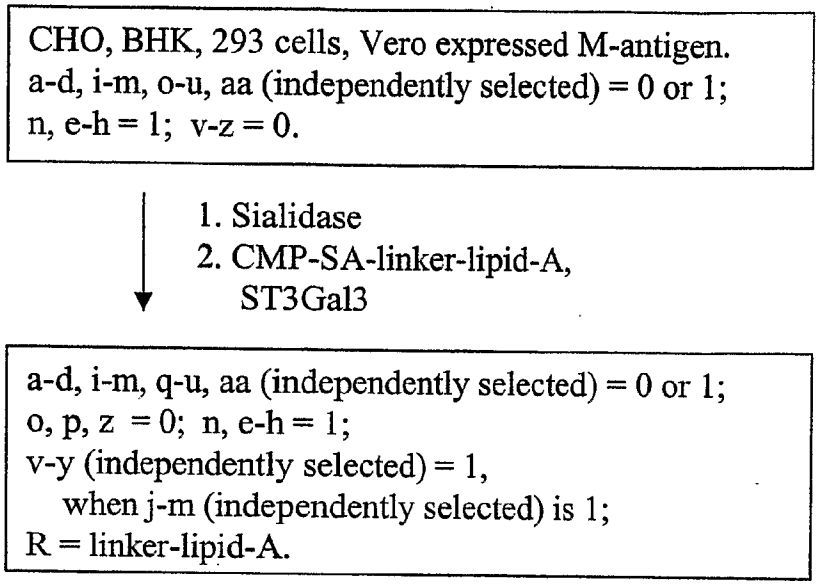


FIG. 46B

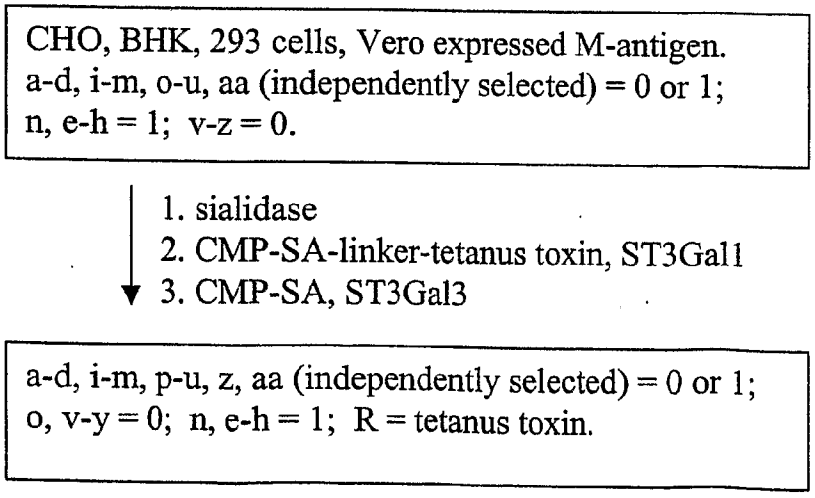


FIG. 46C

NSO expressed M-antigen.
a-d, i-n, o-u, aa (independently selected) = 0 or 1;
e-h = 1; v-z = 0;
Sia (independently selected) = Sia or Gal.

↓ 1. α-galactosidase
2. CMP-SA, ST3Gal3
2. CMP-SA-KLH, ST3Gal1

a-d, i-n, p-u, z, aa (independently selected) = 0 or 1;
e-h = 1; o, v-y = 0;
z = 1, when p = 1;
R = KLH.

FIG. 46D

Yeast expressed M-antigen.
a-p, z = 0; q-y, aa (independently selected) = 0 to 1;
R (branched or linear) = Man, oligomannose;
GalNAc = Man.

↓ 1. α1,2-mannosidase
2. GNT 1,
UDP-GlcNAc-linker-diphtheria toxin.

e, q, l, m, r, t, u, v, aa (independently selected) =0 or 1;
a-d, f-h, j, k, n-p, s, w-z = 0;
Sia = Man; R = linker-diphtheria toxin.

FIG. 46E

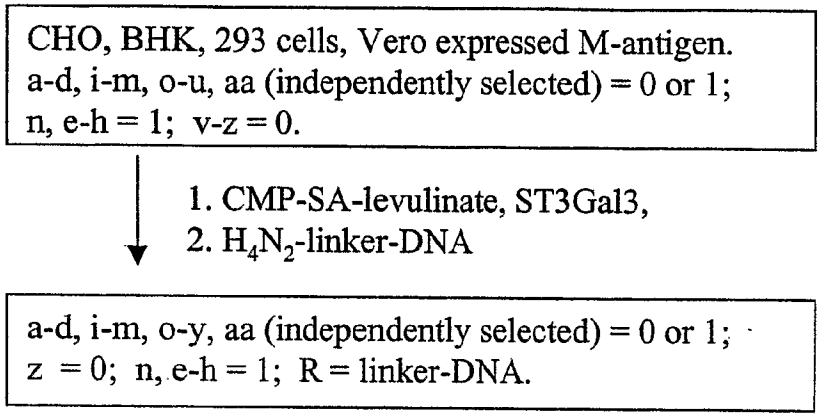


FIG. 46F

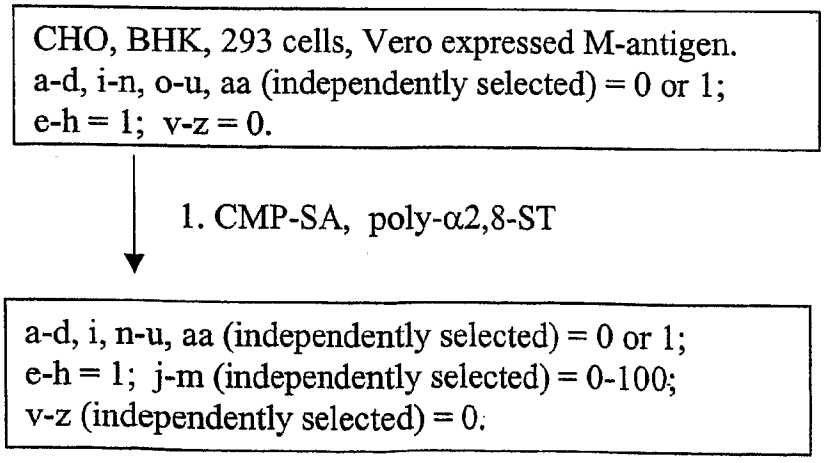
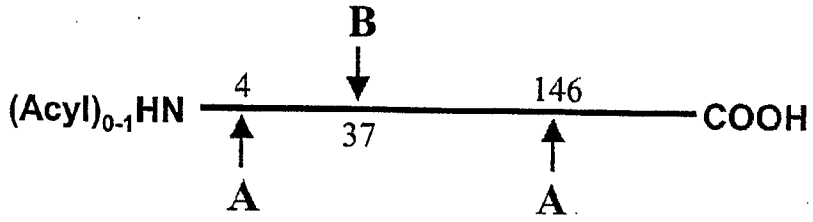
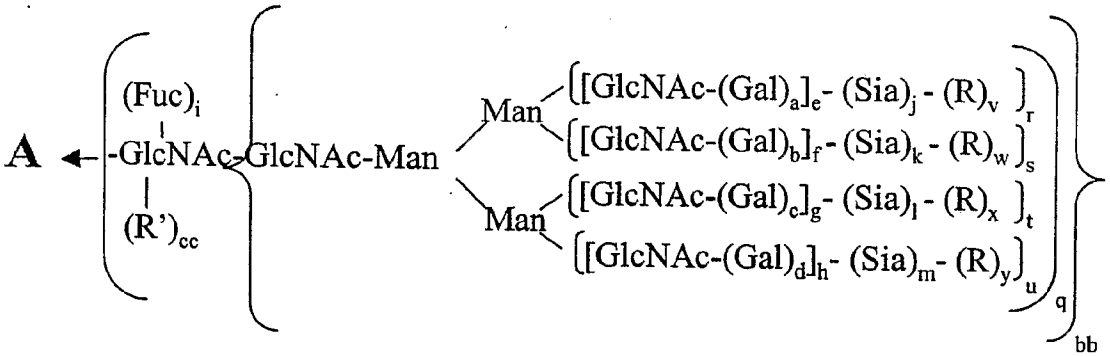
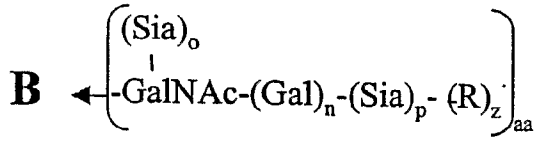


FIG. 46G







a-d, i, n, q-u, aa, bb, (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-p (independently selected) = 0 to 100.
Cc, v-y = 0;
R = modifying group, mannose, oligo-mannose.
R'= H, glycosyl residue, modifying group, glycoconjugate.

FIG. 46H

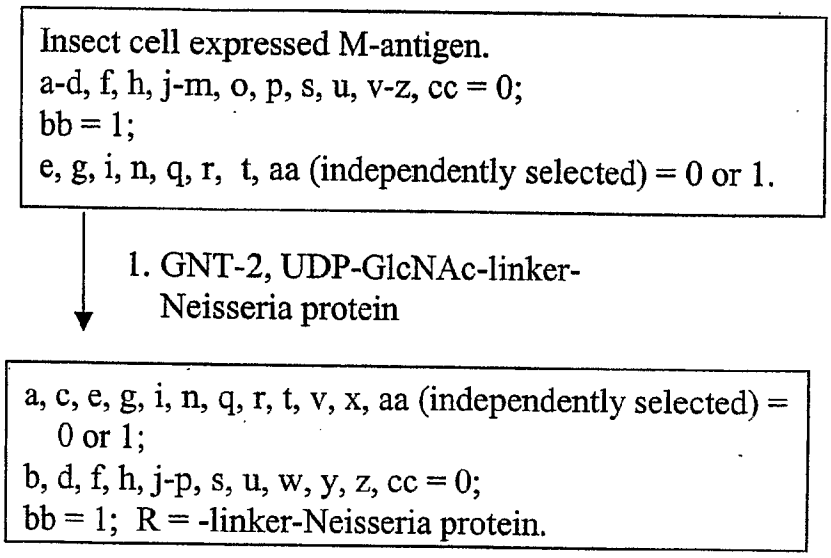


FIG. 46I

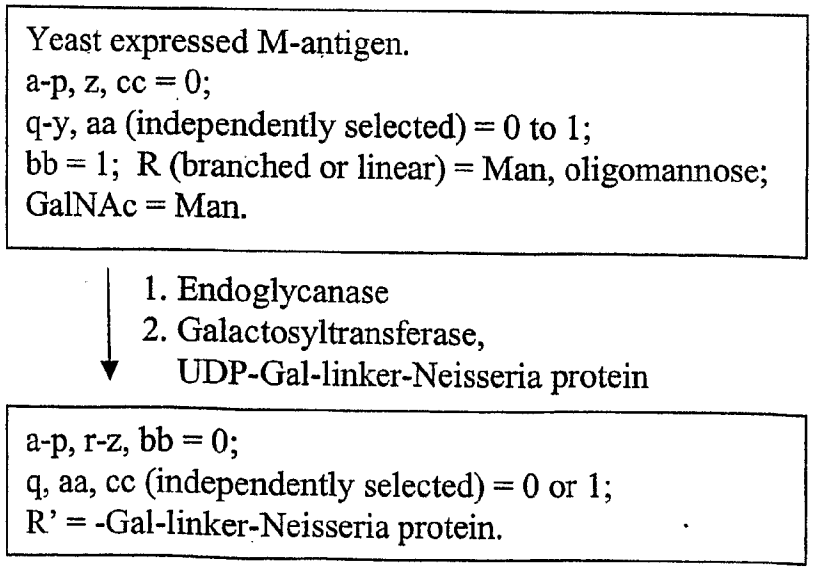


FIG. 46J

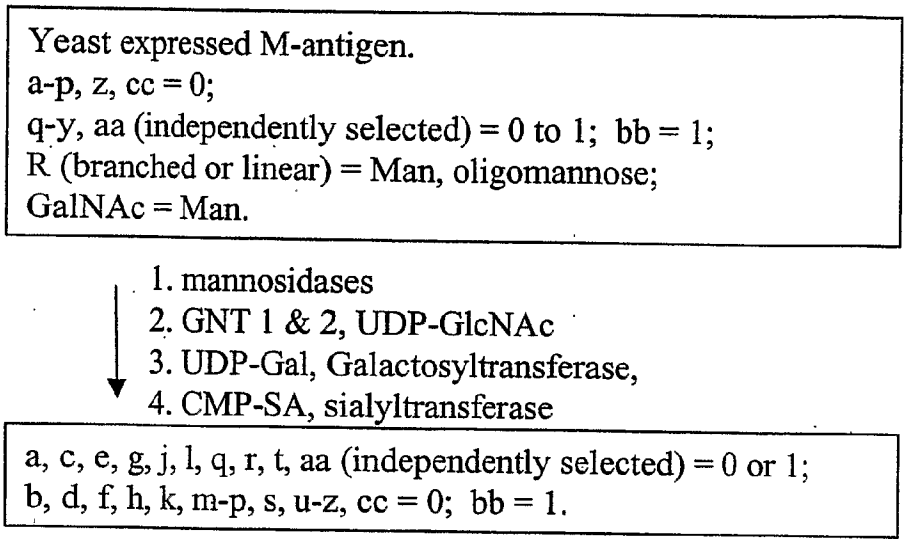
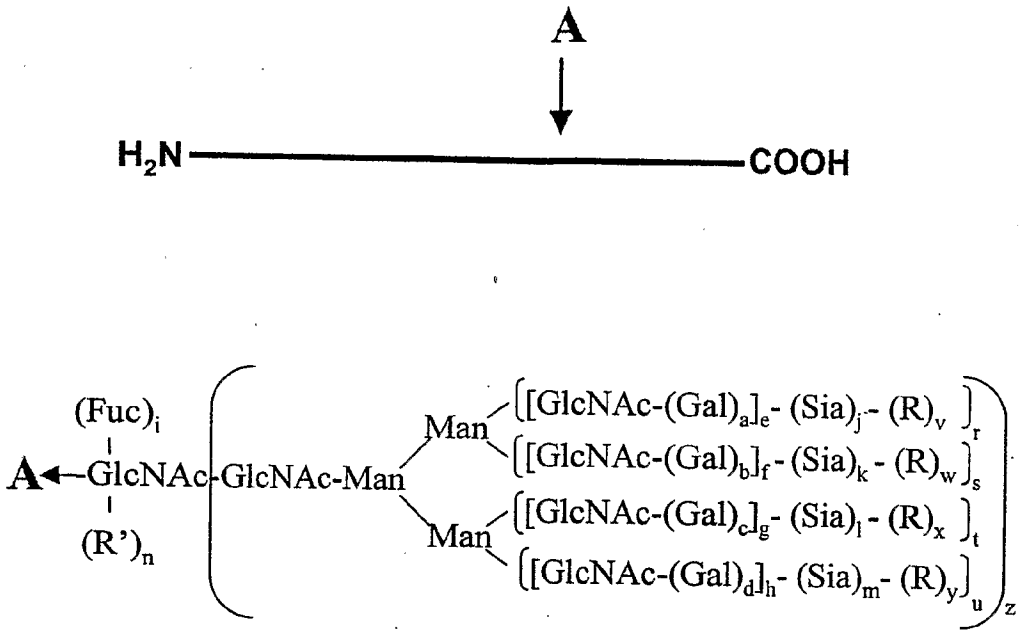

Yeast expressed M-antigen.
a-p, z, cc = 0;
q-y, aa (independently selected) = 0 to 1; bb = 1;
R (branched or linear) = Man, oligomannose;
GalNAc = Man.
1. mannosidases
2. GNT 1 & 2, UDP-GlcNAc
3. UDP-Gal, Galactosyltransferase,
4. CMP-SA, sialyltransferase
a, c, e, g, j, l, q, r, t, aa (independently selected) = 0 or 1;
b, d, f, h, k, m-p, s, u-z, cc = 0; bb = 1.

FIG. 46K



a-d, i, r-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 47A

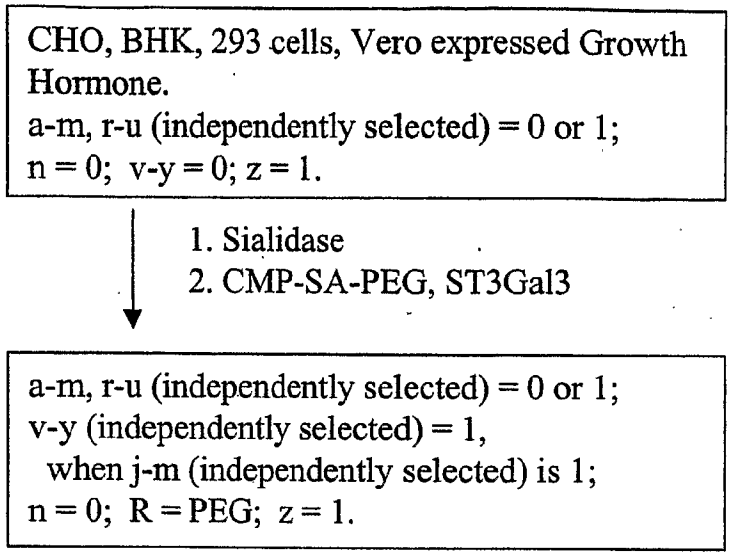


FIG. 47B

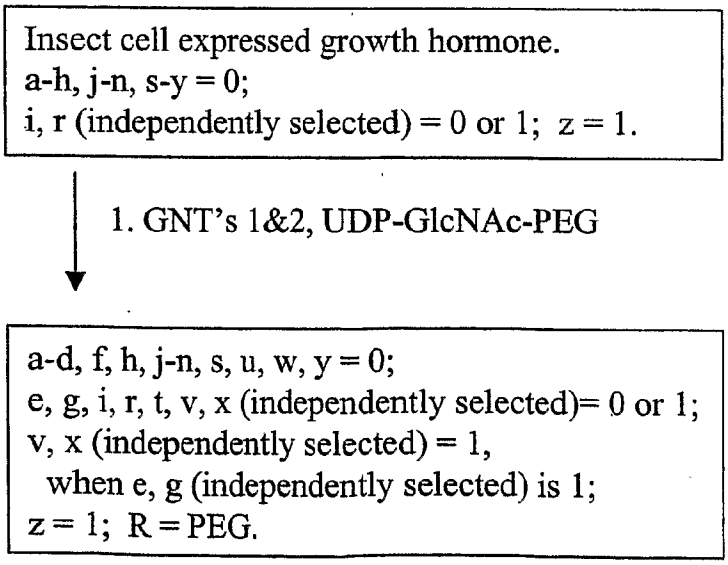


FIG. 47C

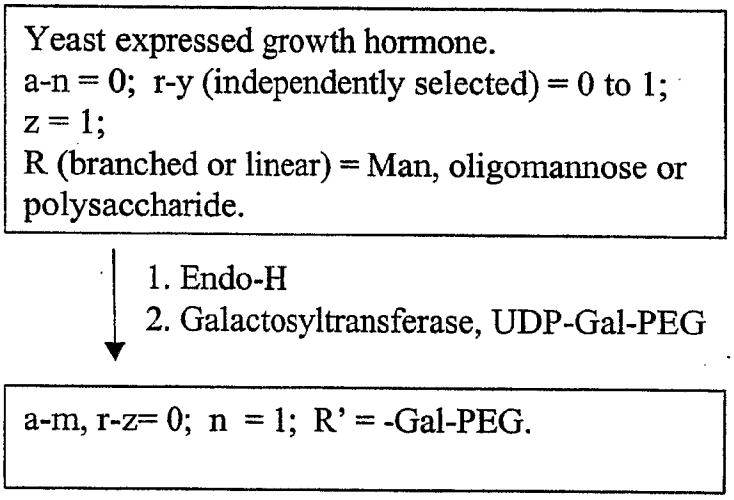
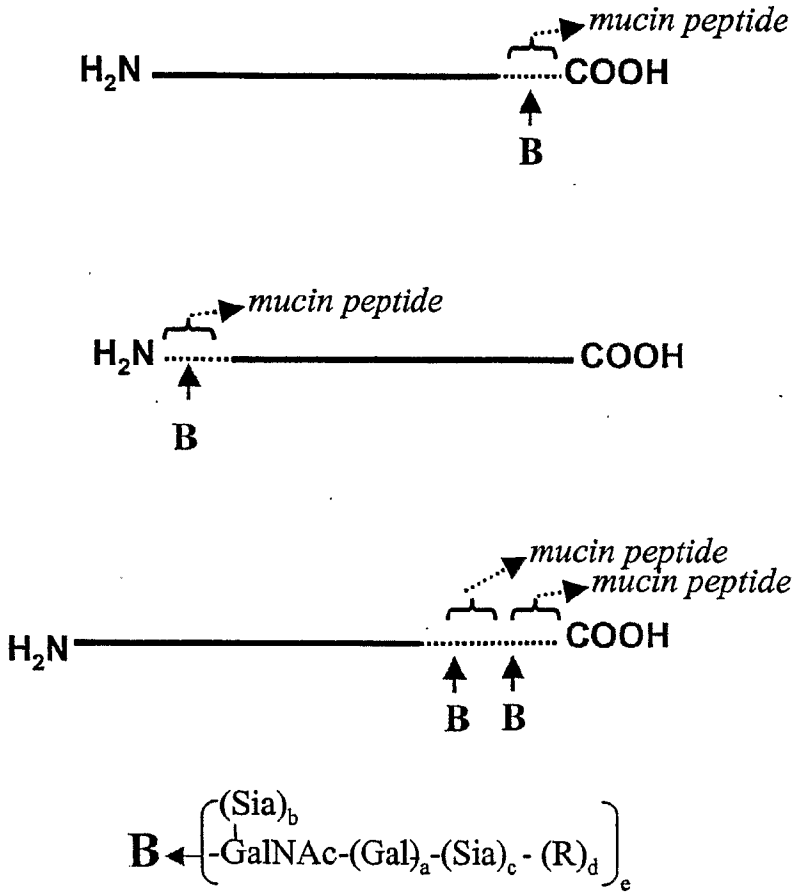

Yeast expressed growth hormone.
a-n = 0; r-y (independently selected) = 0 to 1;
z = 1;
R (branched or linear) = Man, oligomannose or polysaccharide.
1. Endo-H
2. Galactosyltransferase, UDP-Gal-PEG
a-m, r-z= 0; n = 1; R' = -Gal-PEG.

FIG. 47D



a-c, e (independently selected) = 0 or 1;
d = 0;
R = modifying group, mannose, oligo-mannose.

FIG. 47E

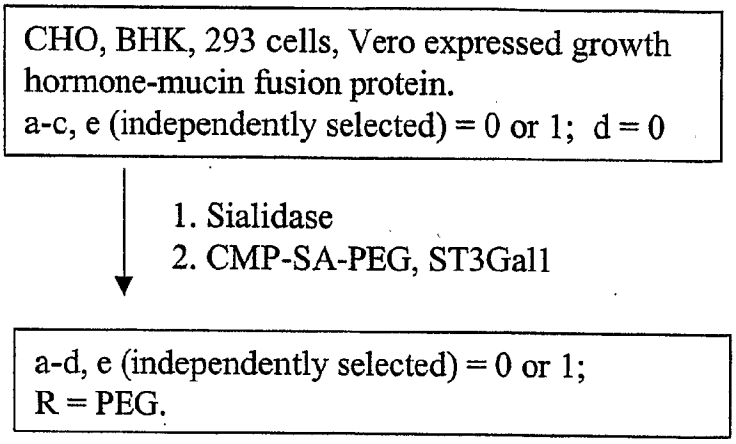


FIG. 47F

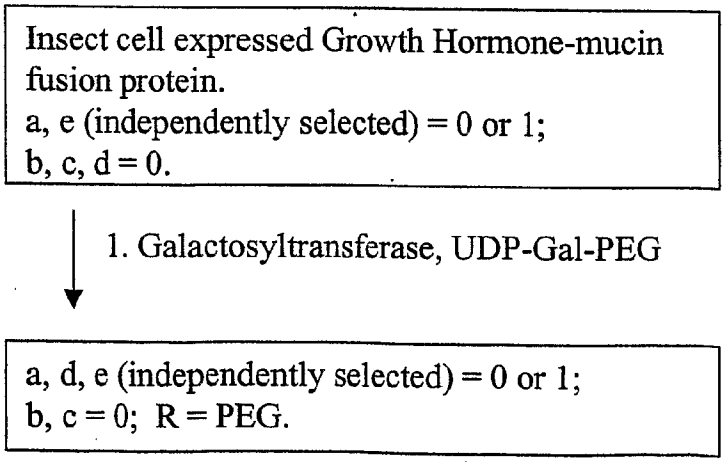


FIG. 47G

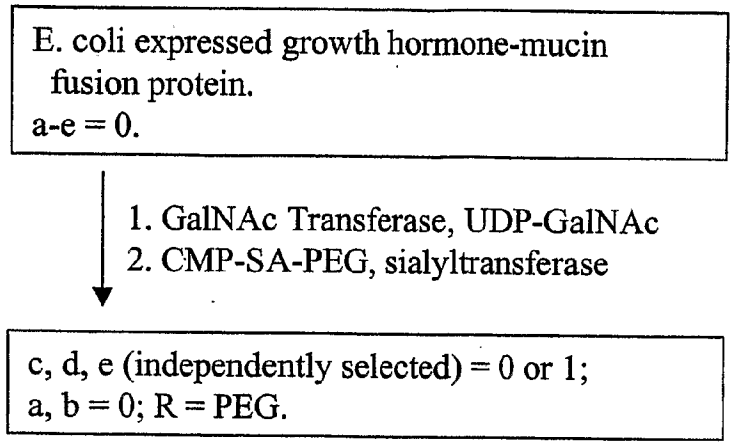


FIG. 47H

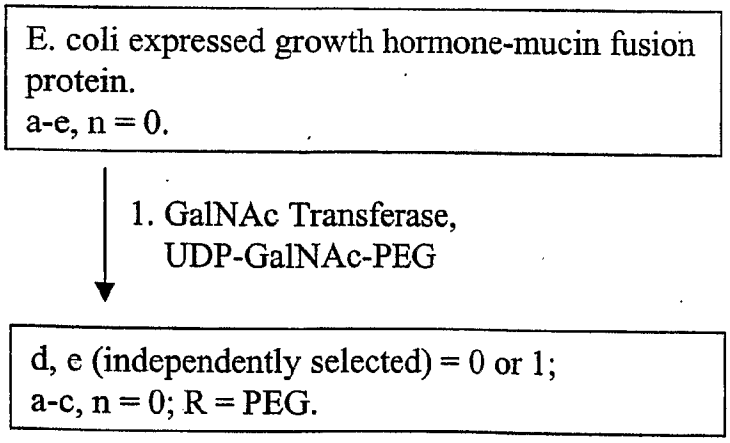


FIG. 47I

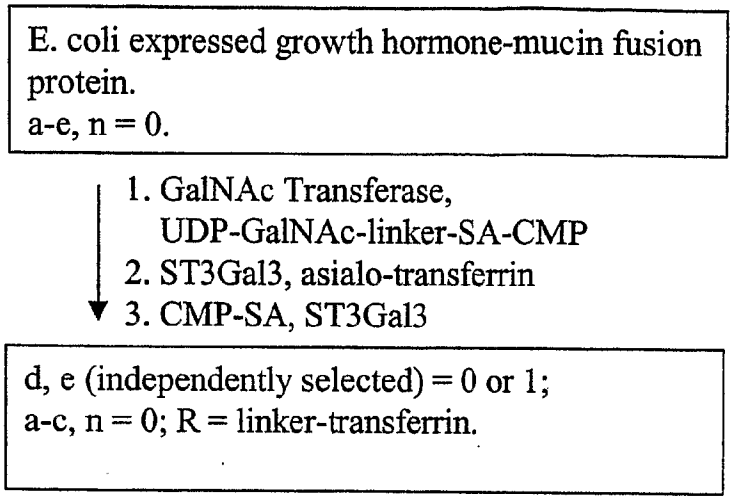


FIG. 47J

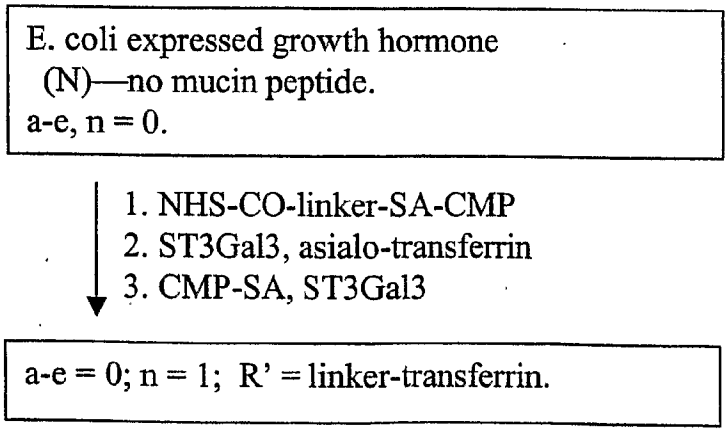
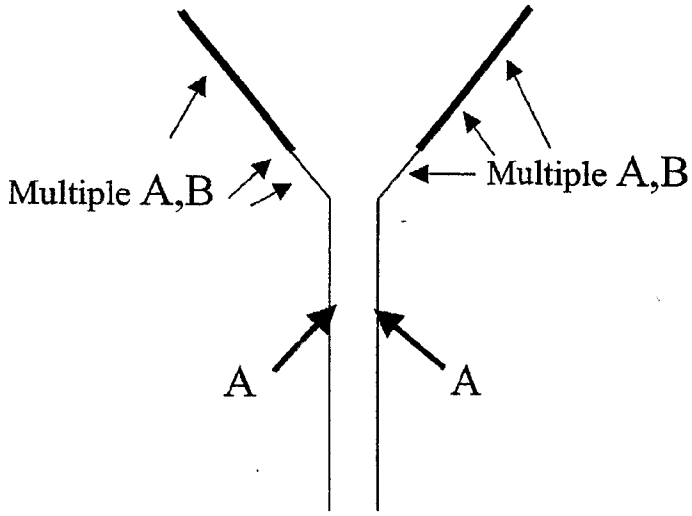
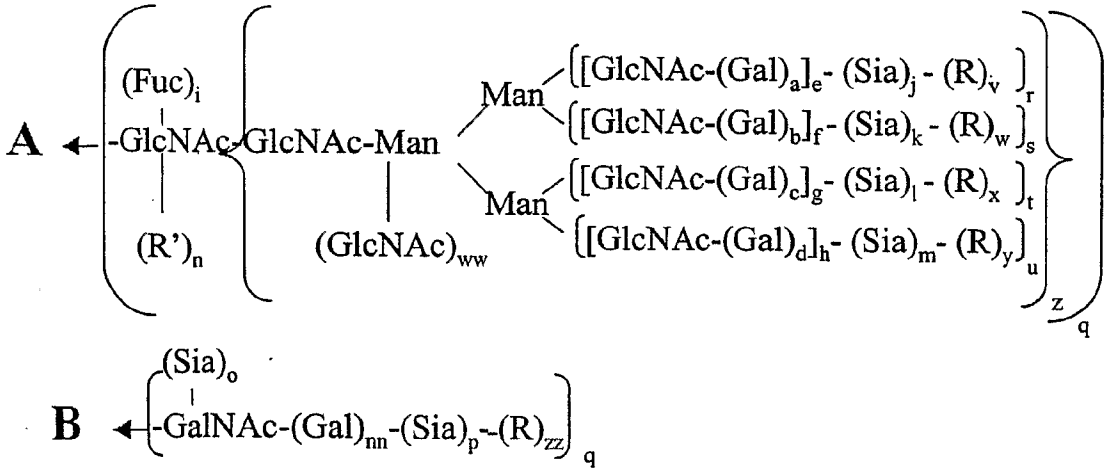


FIG. 47K





a-d, i-m, q-u, w, z, nn, ww, zz (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
n, v-y = 0;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 48A

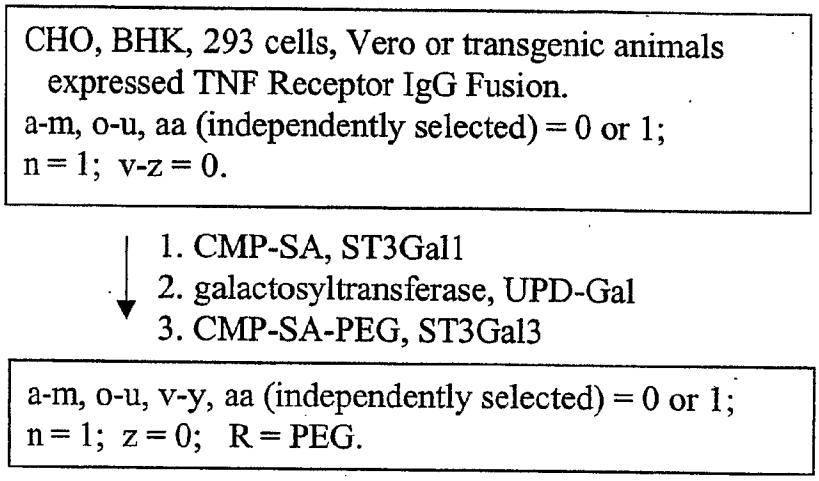


FIG. 48B

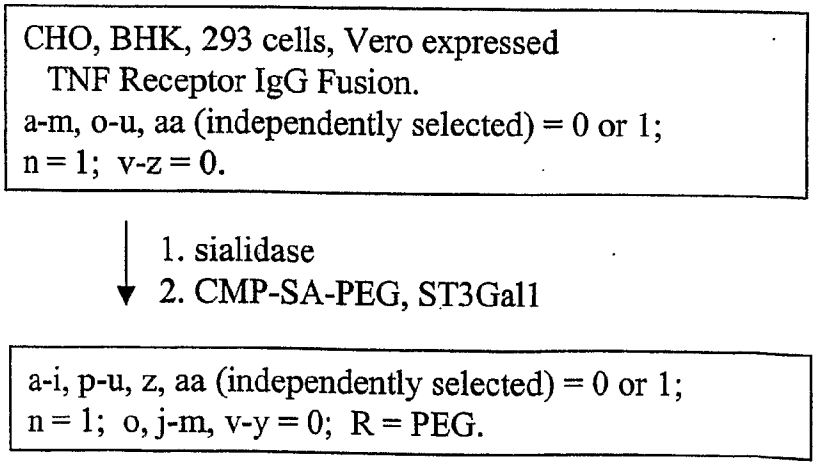


FIG. 48C

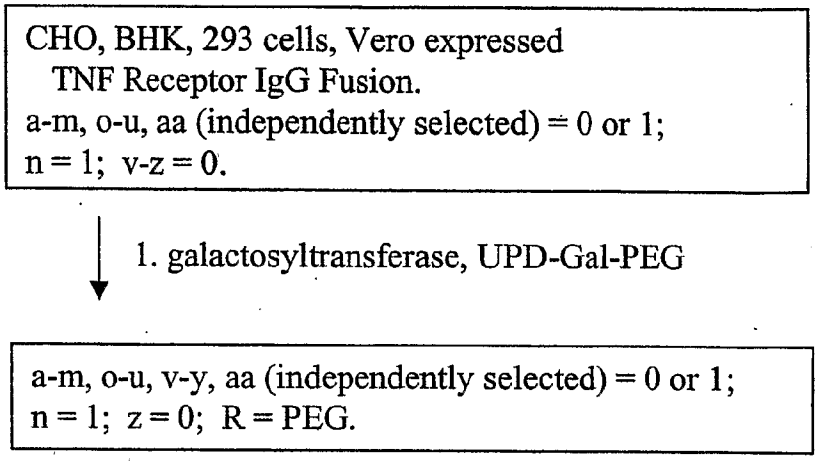


FIG. 48D

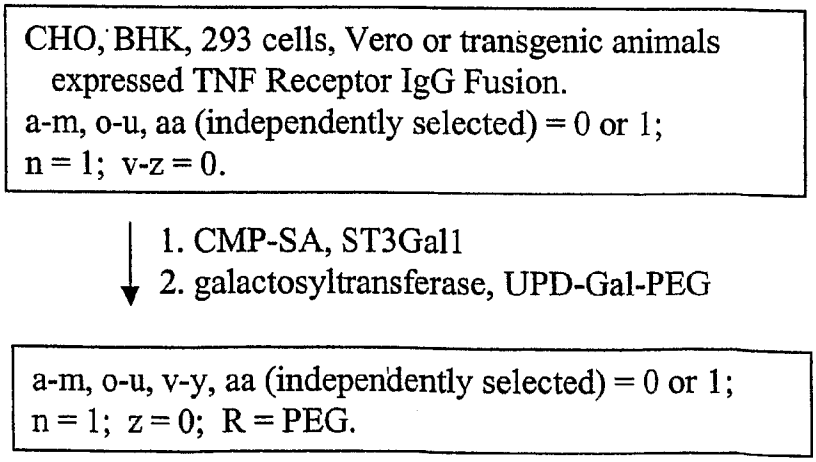


FIG. 48E

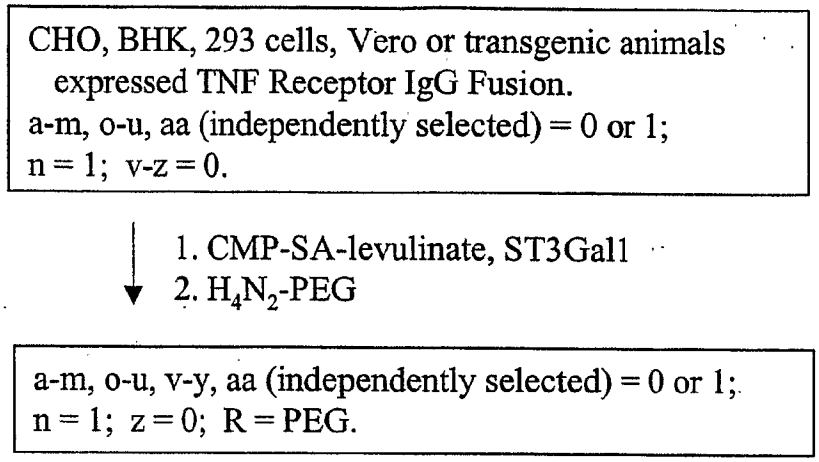


FIG. 48F

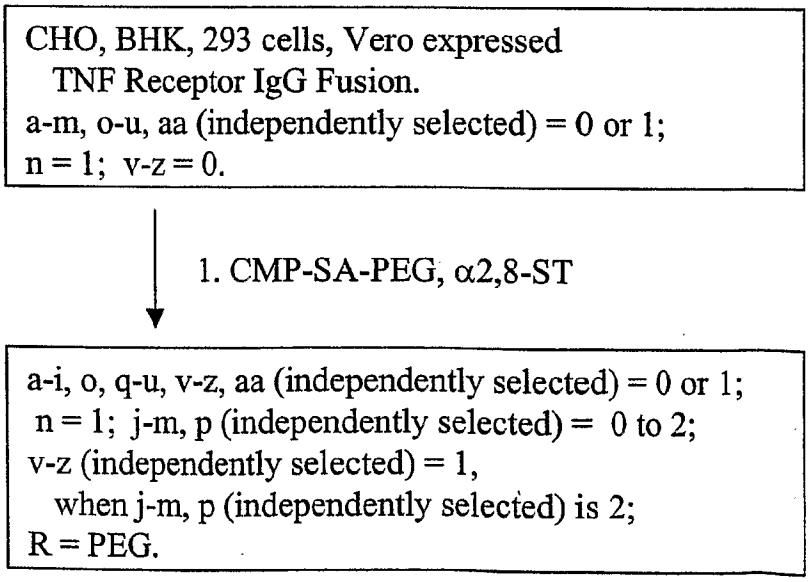
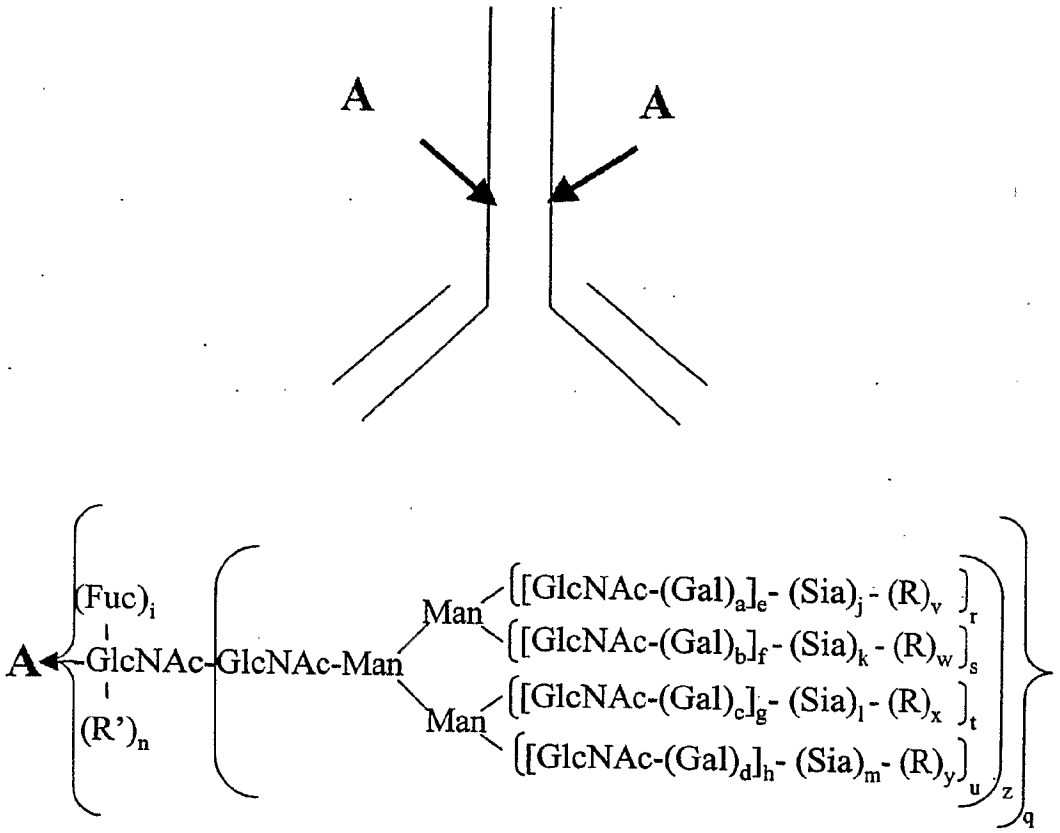


FIG. 48G



a-d, i, l, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-k (independently selected) = 0 or 1.
M = 0 to 20.
n, v-y = 0; z = 0 or 1;
R = polymer, toxin, radioisotope-complex, drug, mannose, oligo-mannose.
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 49A

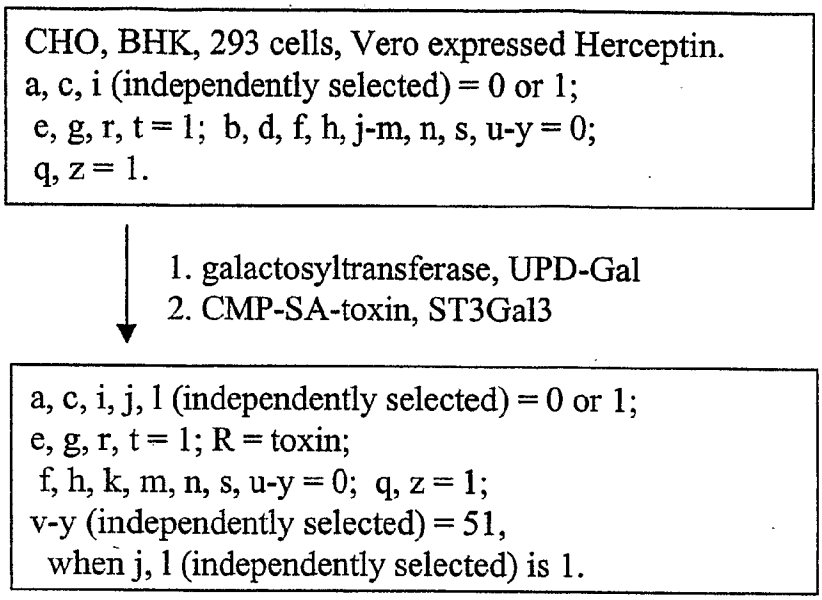


FIG. 49B

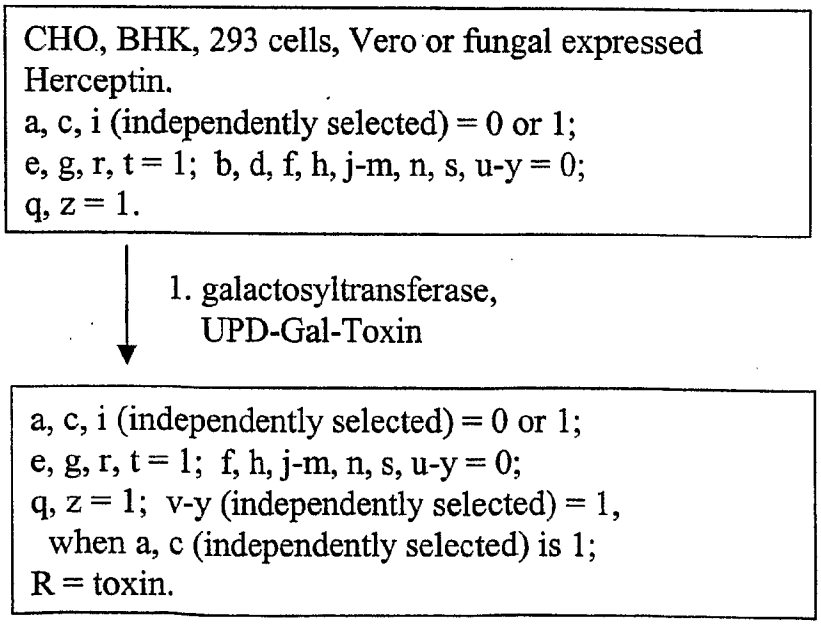


FIG. 49C

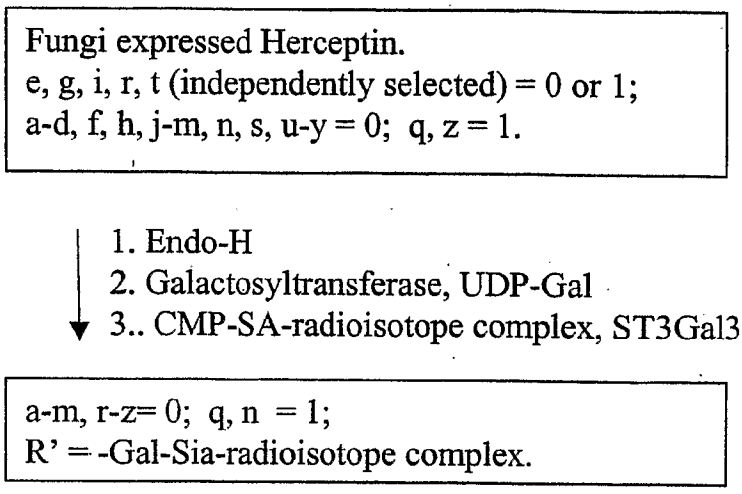
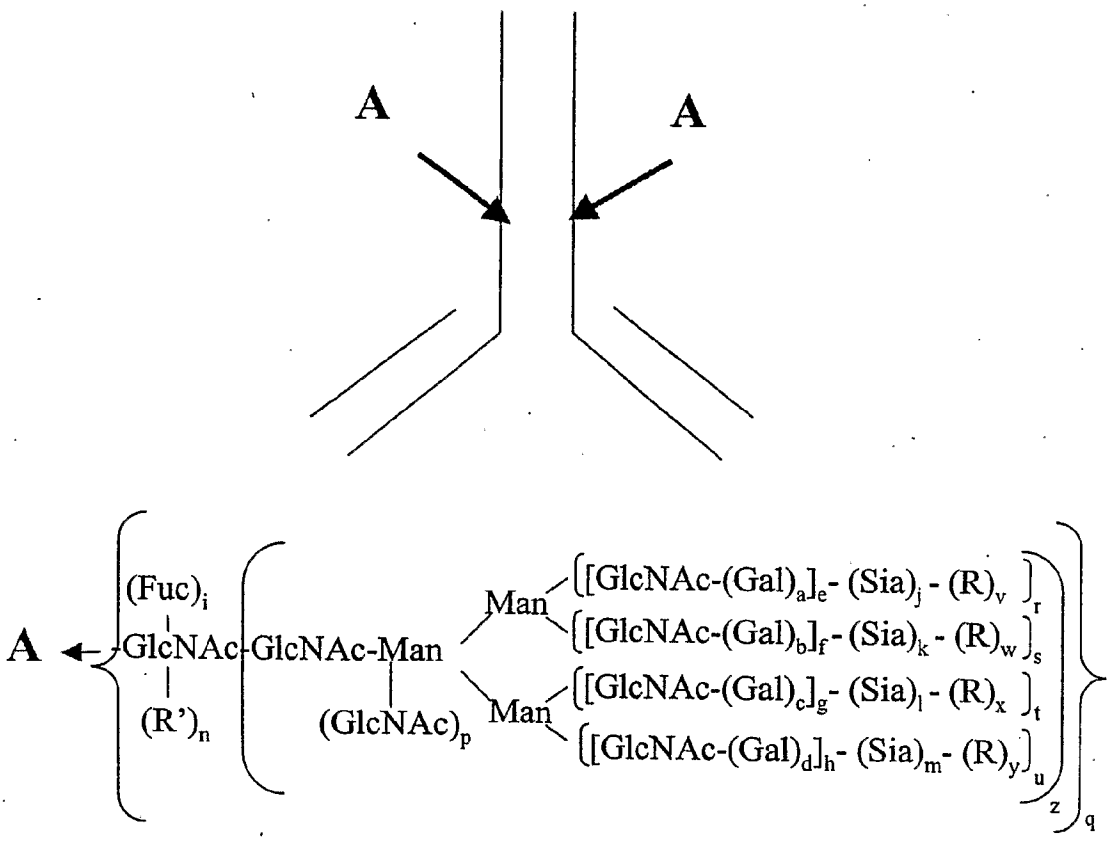

Fungi expressed Herceptin.
e, g, i, r, t (independently selected) = 0 or 1;
a-d, f, h, j-m, n, s, u-y = 0; q, z = 1.
1. Endo-H
2. Galactosyltransferase, UDP-Gal
3.. CMP-SA-radioisotope complex, ST3Gal3
a-m, r-z= 0; q, n = 1;
R' = -Gal-Sia-radioisotope complex.

FIG. 49D



a-d, i, p-u, (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1;
R = polymer, toxin, radioisotope-complex, drug, mannose, oligo-mannose.
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 50A

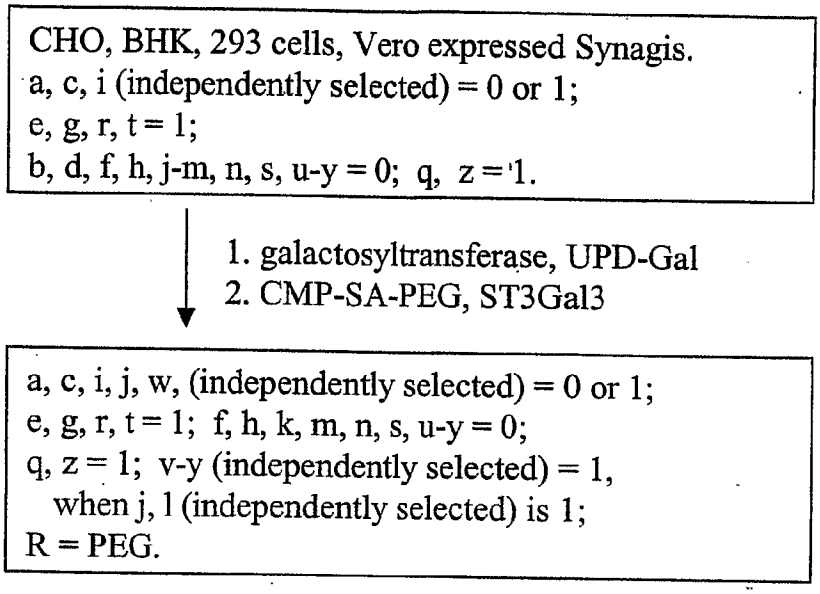


FIG. 50B

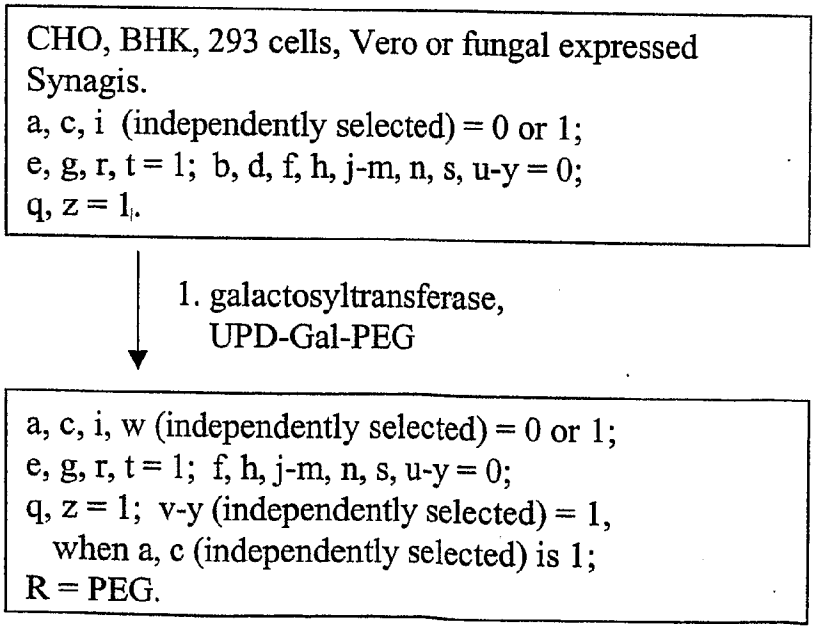


FIG. 50C

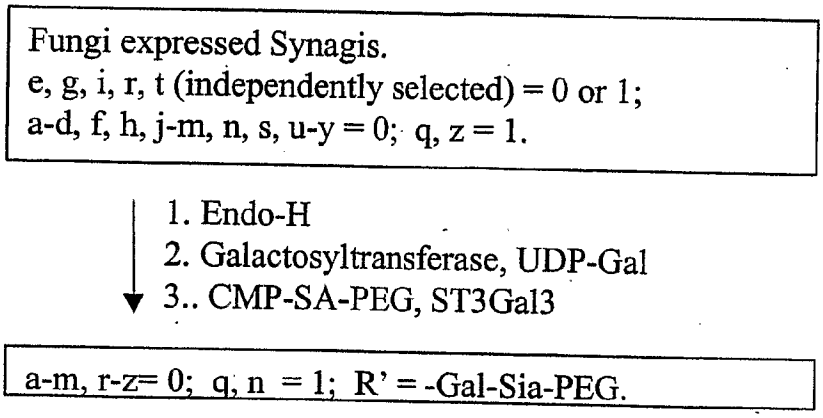
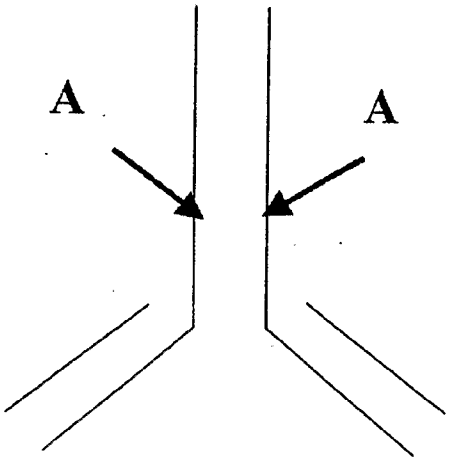
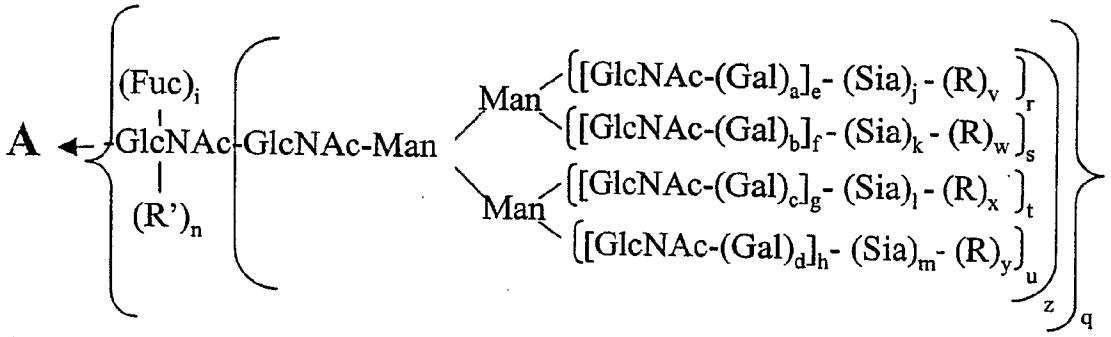

Fungi expressed Synagis.
e, g, i, r, t (independently selected) = 0 or 1;
a-d, f, h, j-m, n, s, u-y = 0; q, z = 1.
1. Endo-H
2. Galactosyltransferase, UDP-Gal
3.. CMP-SA-PEG, ST3Gal3
a-m, r-z= 0; q, n = 1; R' = -Gal-Sia-PEG.

FIG. 50D





a-d, i, q-u, w (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 20.
n, v-y = 0; z = 0 or 1;
R = polymer, toxin, radioisotope-complex, drug, mannose, oligo-mannose.
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 51A

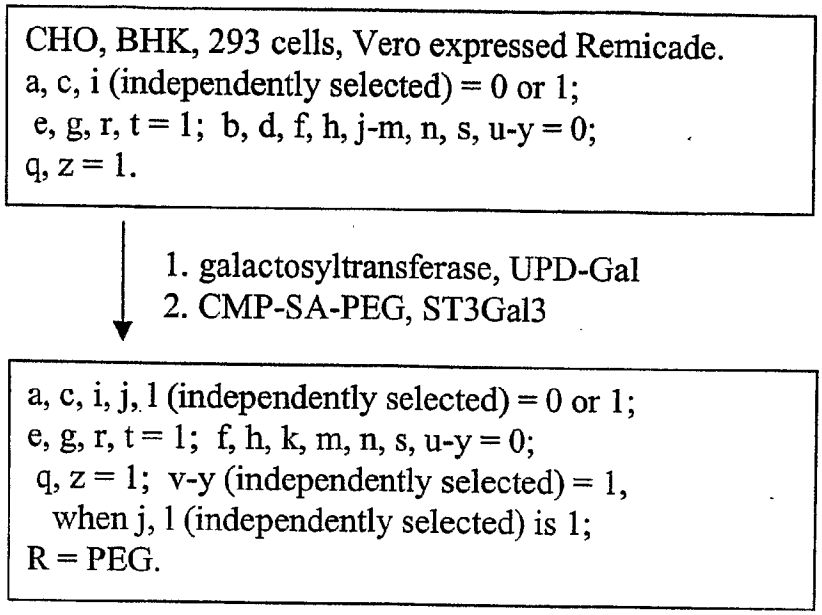


FIG. 51B

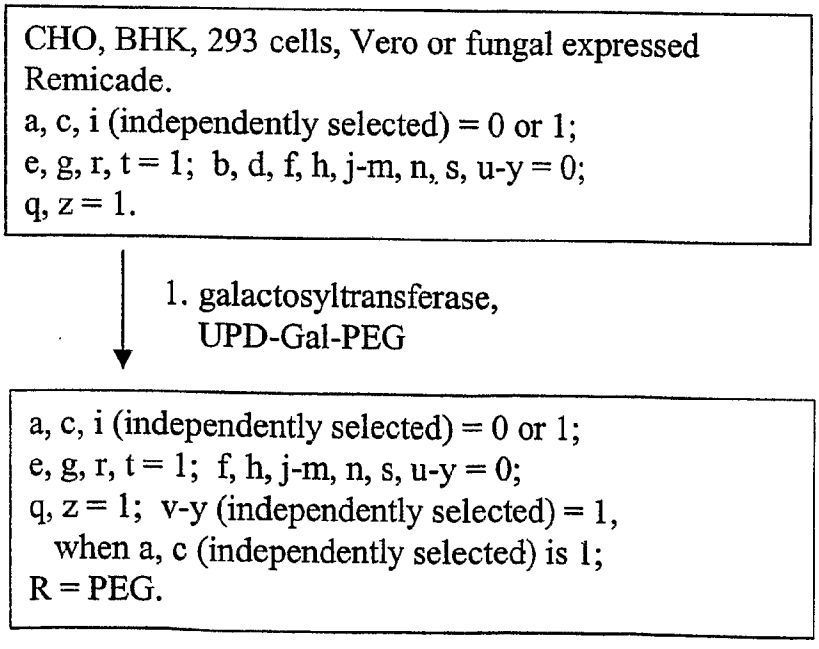


FIG. 51C

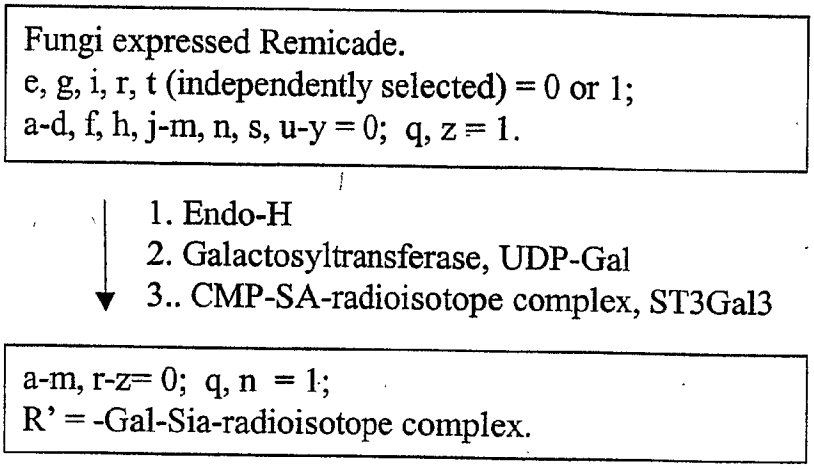
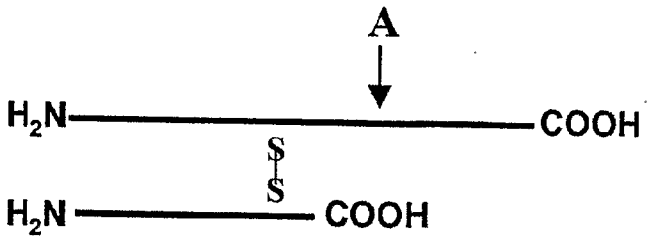
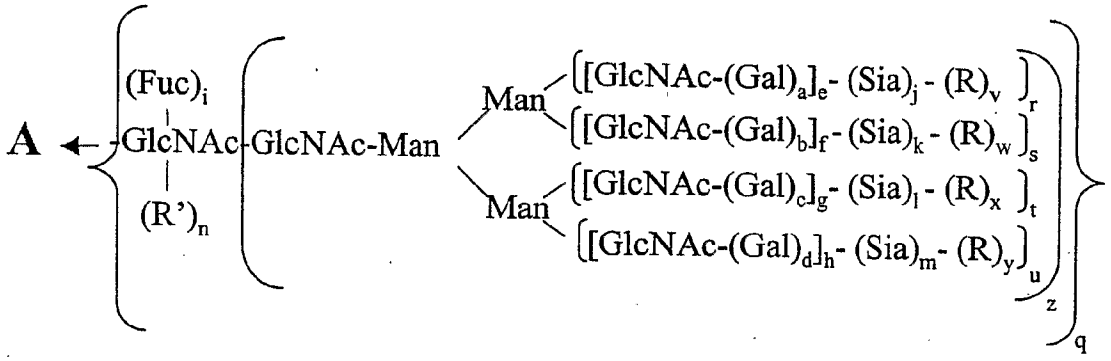

Fungi expressed Remicade.
e, g, i, r, t (independently selected) = 0 or 1;
a-d, f, h, j-m, n, s, u-y = 0; q, z = 1.
1. Endo-H
2. Galactosyltransferase, UDP-Gal
3.. CMP-SA-radioisotope complex, ST3Gal3
a-m, r-z= 0; q, n = 1;
R' = -Gal-Sia-radioisotope complex.

FIG. 51D





a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1;
R = modifying group, mannose, oligo-mannose;
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 52A

CHO, BHK, 293 cells, Vero expressed Reopro.
a-m, r-u (independently selected) = 0 or 1;
n = 0; v-y = 0; z = 1.

↓ 1. Sialidase
2. CMP-SA-PEG, ST3Gal3

a-m, r-u (independently selected) = 0 or 1;
v-y (independently selected) = 1,
when j-m (independently selected) is 1;
n = 0; R = PEG; z = 1.

FIG. 52B

Insect cell expressed Reopro.
a-h, j-n, s-y = 0; i, r (independently selected) = 0 or 1;
z = 1.

↓ 1. GNT's 1&2, UDP-GlcNAc-PEG

a-d, f, h, j-n, s, u, w, y = 0;
e, g, i, r, t, v, x (independently selected) = 0 or 1;
v, x (independently selected) = 1,
when e, g (independently selected) is 1;
z = 1; R = PEG.

FIG. 52C

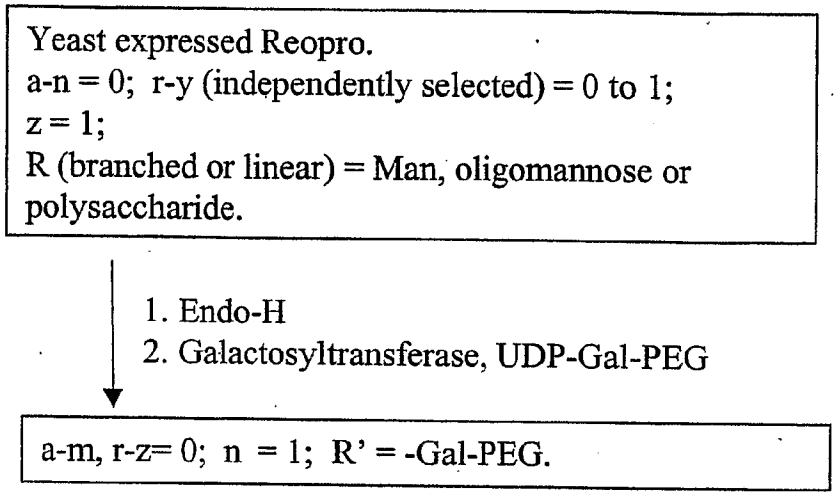
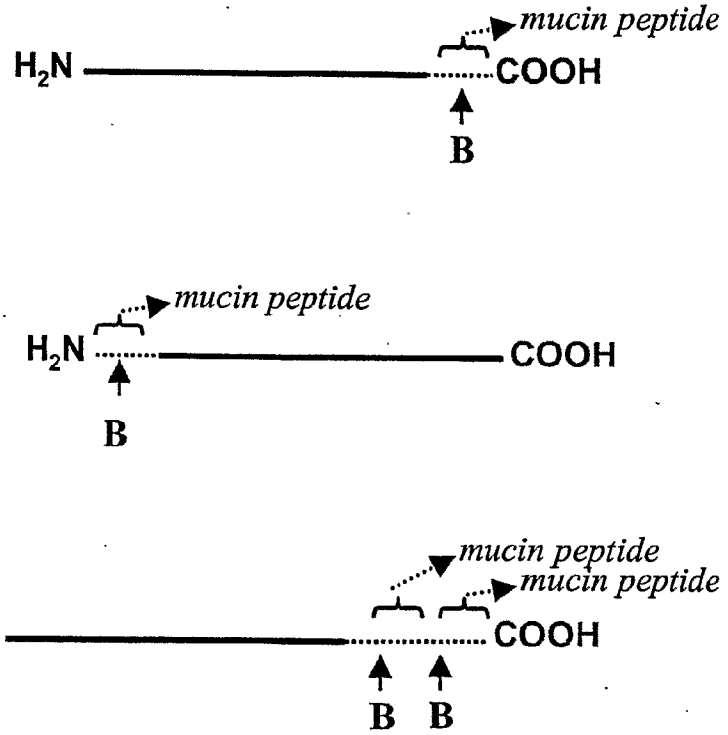
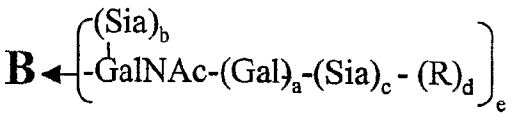

Yeast expressed Reopro.
a-n = 0; r-y (independently selected) = 0 to 1;
z = 1;
R (branched or linear) = Man, oligomannose or polysaccharide.
1. Endo-H
2. Galactosyltransferase, UDP-Gal-PEG
a-m, r-z= 0; n = 1; R' = -Gal-PEG.

FIG. 52D





a-c, e (independently selected) = 0 or 1;
d = 0; R = polymer

FIG. 52E

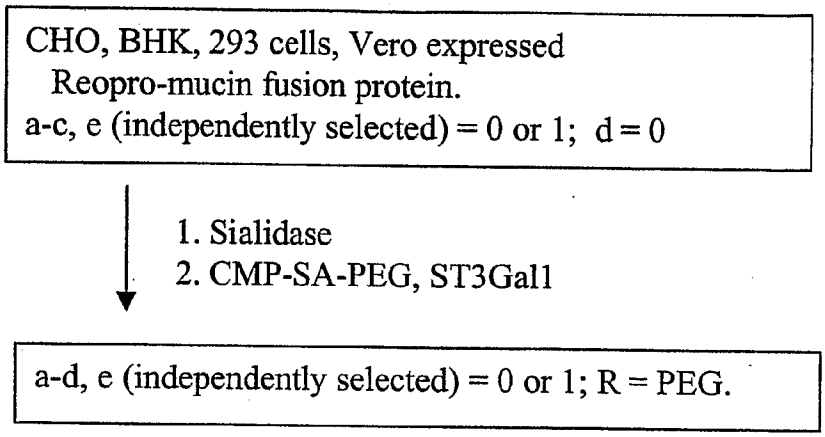


FIG. 52F

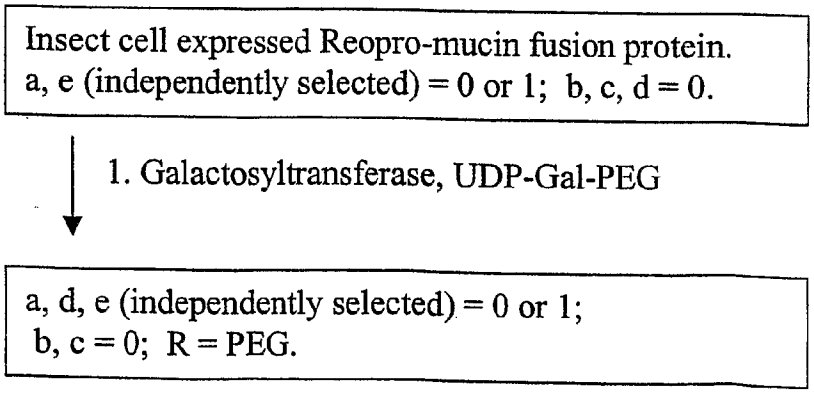


FIG. 52G

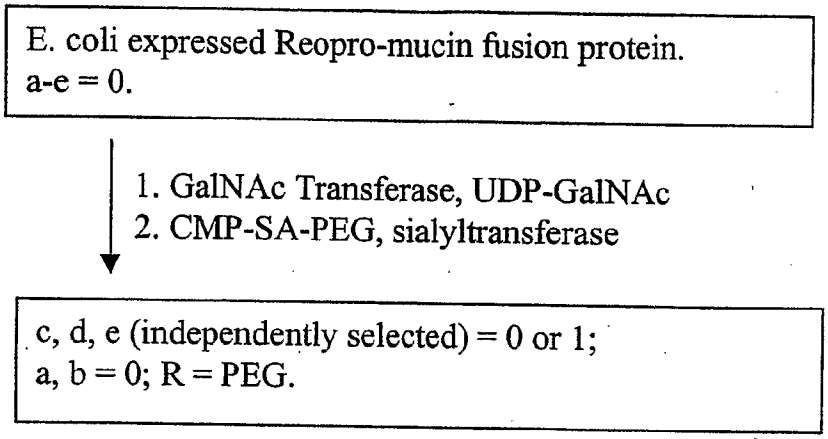
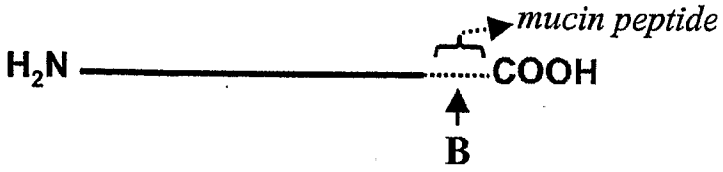
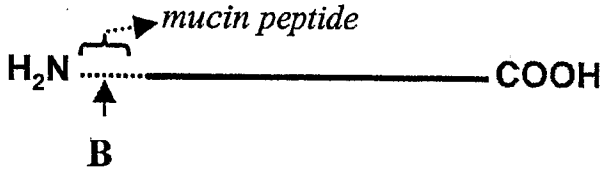
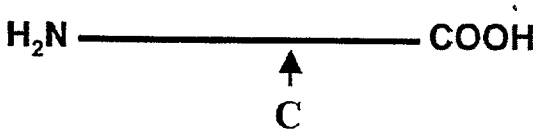
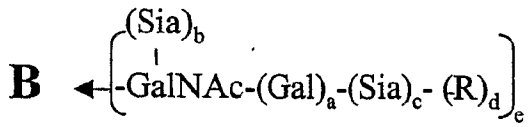

E. coli expressed Reopro-mucin fusion protein.
a-e = 0.
1. GalNAc Transferase, UDP-GalNAc
2. CMP-SA-PEG, sialyltransferase
c, d, e (independently selected) = 0 or 1;
a, b = 0; R = PEG.

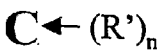
FIG. 52H











a-c, e (independently selected) = 0 or 1;
d = 0; R = polymer, linker.

FIG. 52I

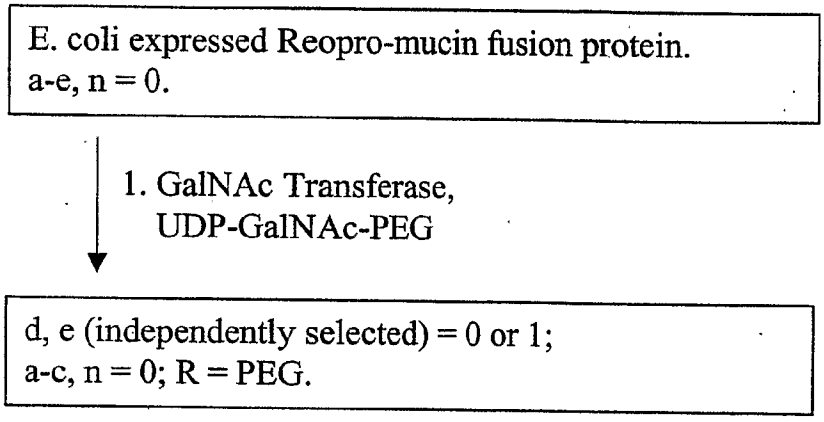


FIG. 52J

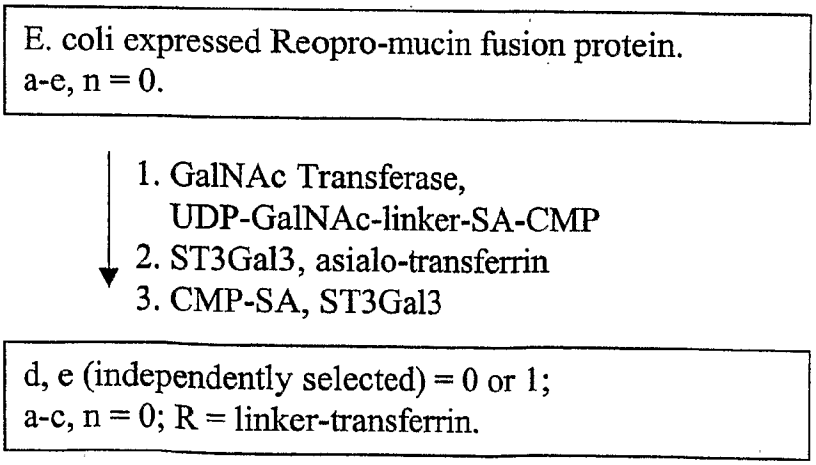


FIG. 52K

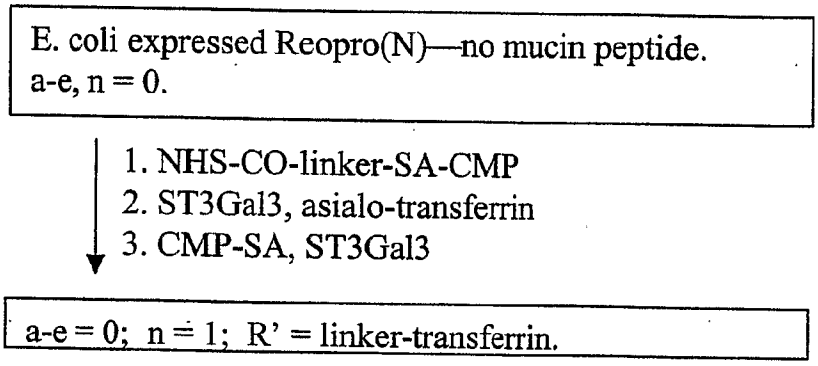
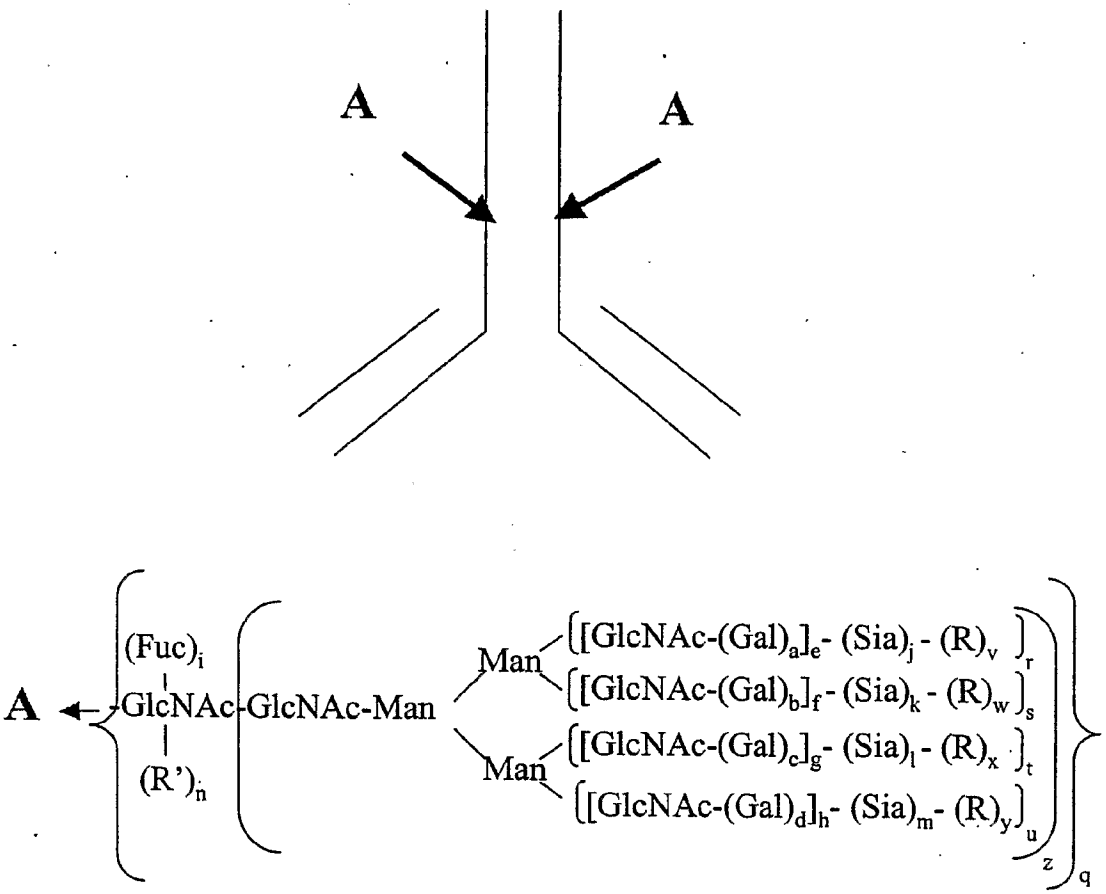

E. coli expressed Reopro(N)—no mucin peptide.
a-e, n = 0.
1. NHS-CO-linker-SA-CMP
2. ST3Gal3, asialo-transferrin
3. CMP-SA, ST3Gal3
a-e = 0; n = 1; R' = linker-transferrin.

FIG. 52L



a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1; R = polymer, toxin, radioisotope-complex, drug, glycoconjugate.
R' = H, sugar, glycoconjugate.

z

FIG. 53A

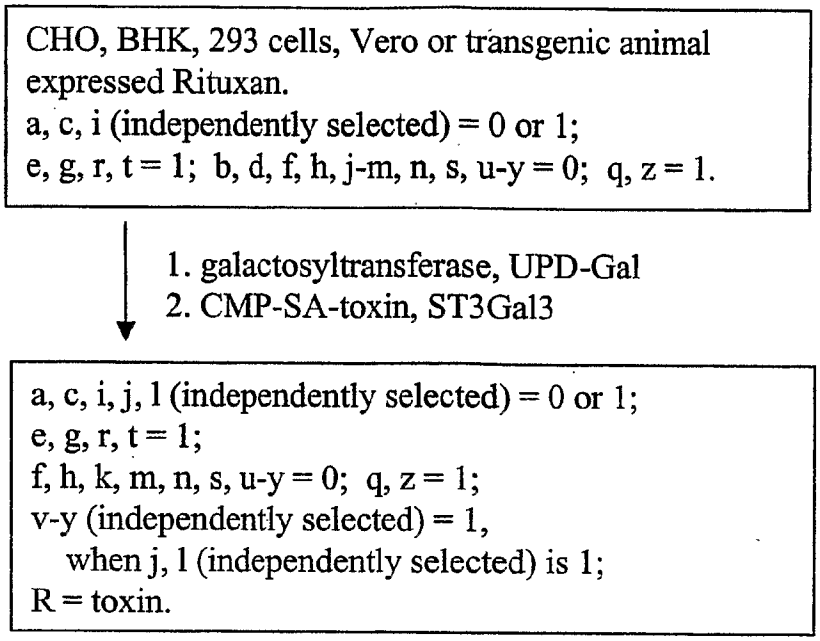


FIG. 53B

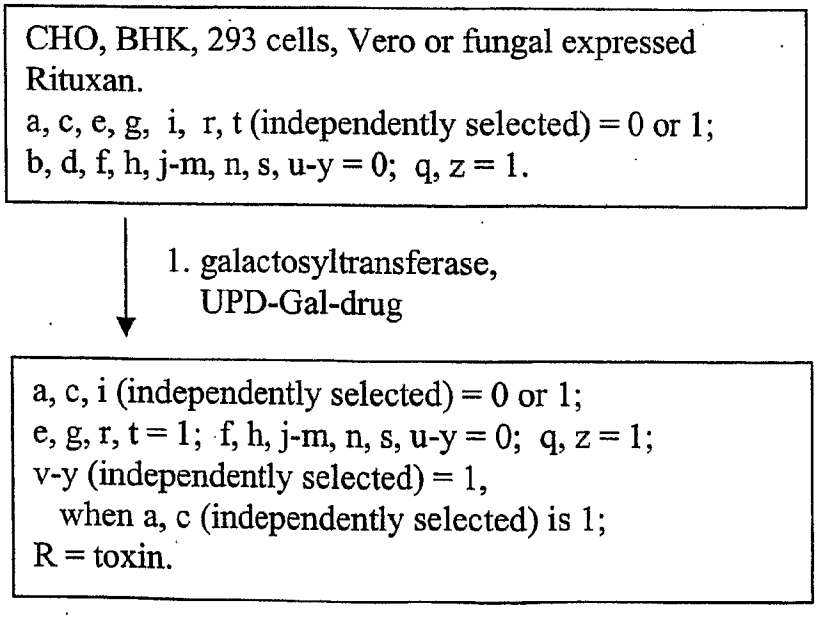


FIG. 53C

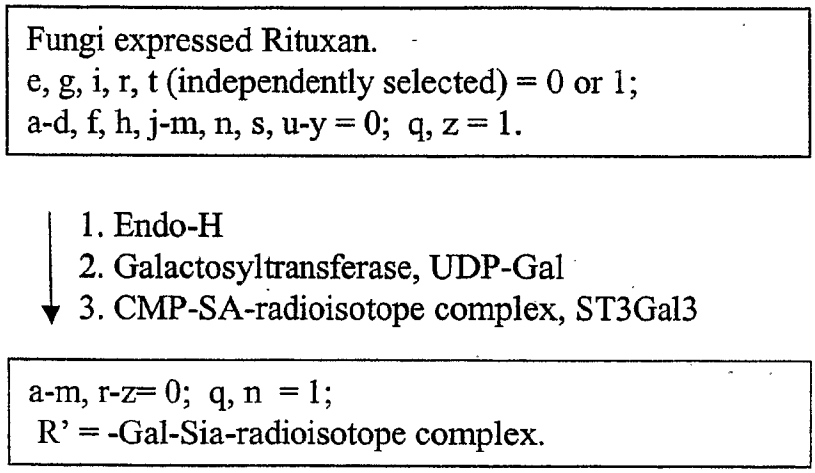
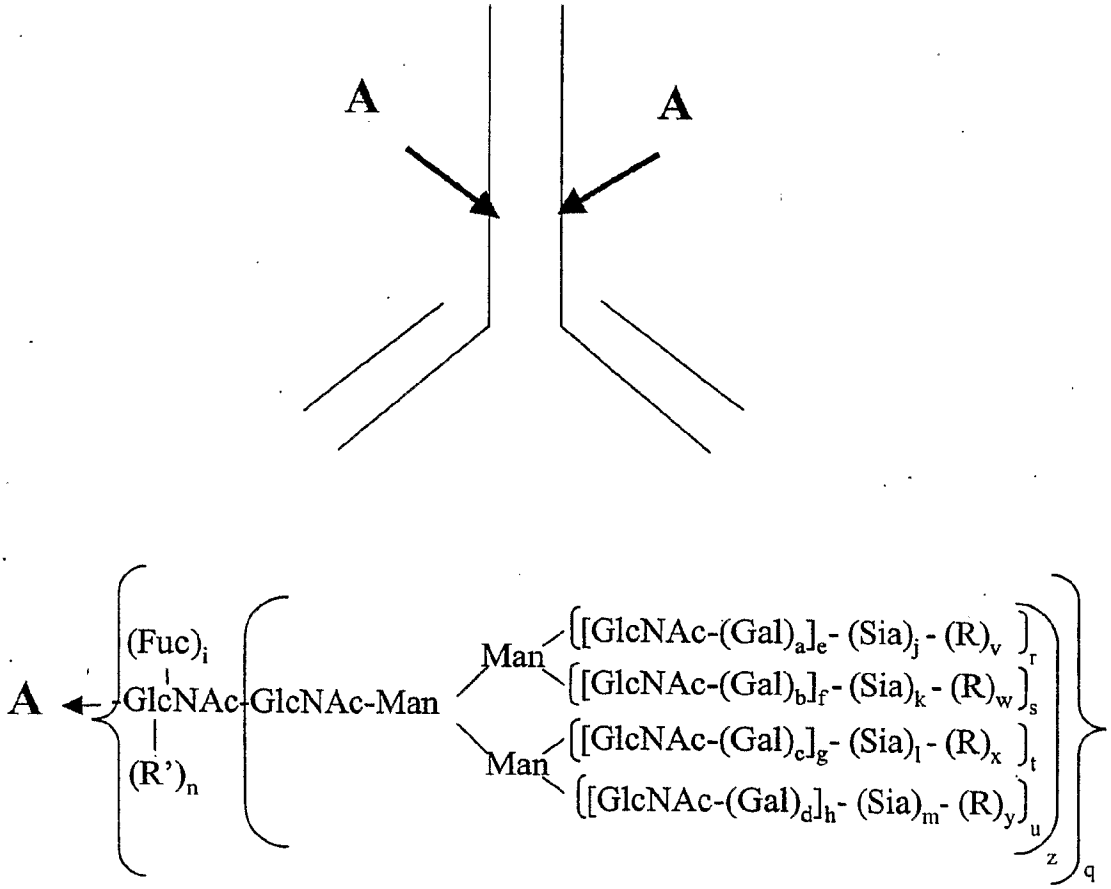

Fungi expressed Rituxan.
e, g, i, r, t (independently selected) = 0 or 1;
a-d, f, h, j-m, n, s, u-y = 0; q, z = 1.
1. Endo-H
2. Galactosyltransferase, UDP-Gal
3. CMP-SA-radioisotope complex, ST3Gal3
a-m, r-z= 0; q, n = 1;
R' = -Gal-Sia-radioisotope complex.

FIG. 53D



a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 or 1.
n, v-y = 0; z = 0 or 1;
R = polymer, toxin, radioisotope-complex, drug, glycoconjugate, mannose, oligo-mannose.
R' = H, glycosyl residue, modifying group, glycoconjugate.

FIG. 53E

CHO, BHK, 293 cells, Vero or transgenic animal expressed Rituxan.
a, c, i (independently selected) = 0 or 1;
e, g, r, t = 1; b, d, f, h, j-m, n, s, u-y = 0;
q, z = 1.

↓ 1. galactosyltransferase, UPD-Gal
2. CMP-SA-PEG, ST3Gal3

a, c, i, j, l (independently selected) = 0 or 1;
e, g, r, t = 1; f, h, k, m, n, s, u-y = 0;
q, z = 1; v-y (independently selected) = 1,
when j, l (independently selected) is 1;
R = PEG.

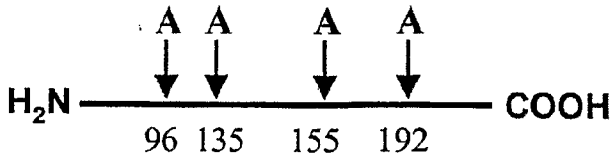
FIG. 53F

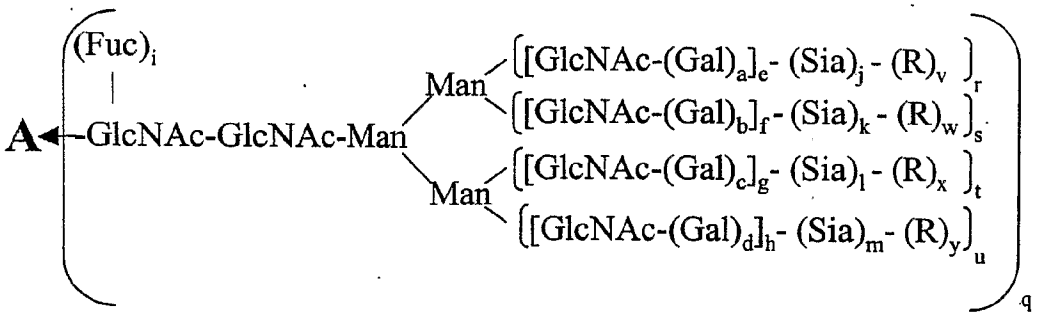
Fungi, yeast or CHO expressed Rituxan.
e, g, i, r, t, v, x (independently selected) = 0 or 1;
a-d, f, h, j-m, n, s, u, w, y = 0; q, z = 1;
R (independently selected) = mannose, oligomannose, polymannose.

↓ 1. mannosidases (alpha and beta)
2. GNT-I,II, UDP-GlcNAc
3. Galactosyltransferase, UDP-Gal-radioisotope

a-m, r-z= 0; q, n = 1;
R' = -Gal-radioisotope complex.

FIG. 53G





a-d, i, q-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0; R = mannose,, polymer.

FIG. 54A

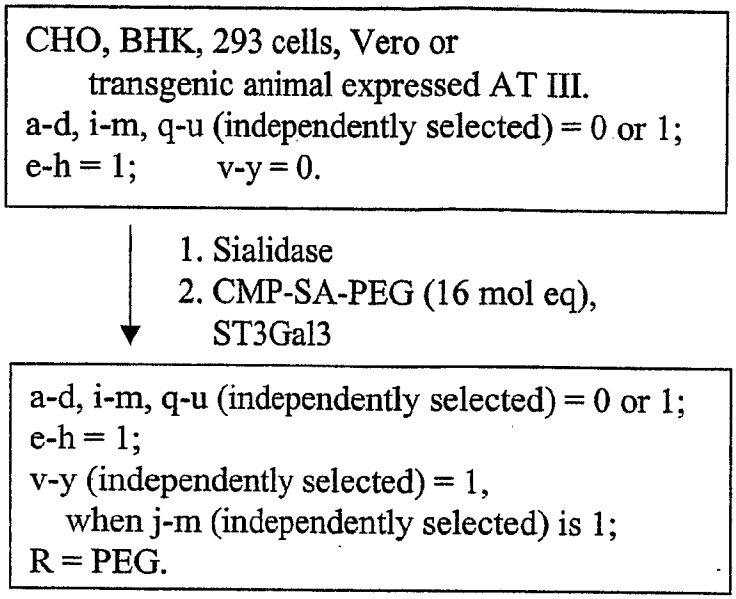


FIG. 54B

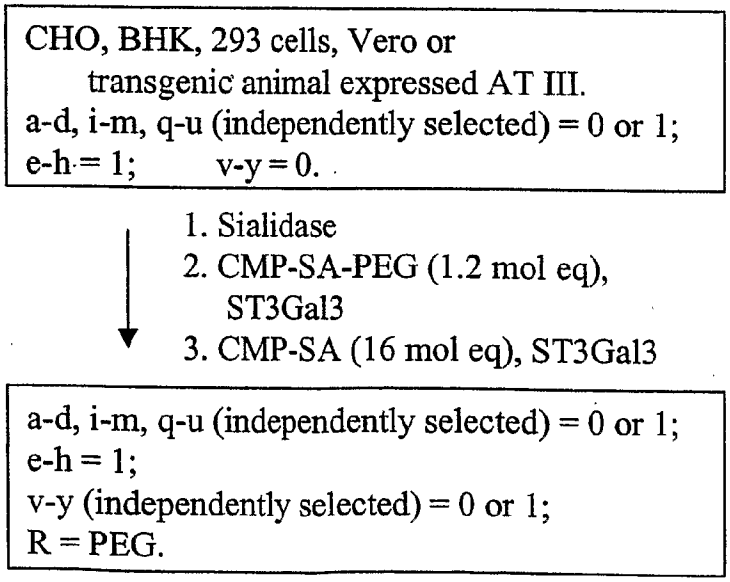


FIG. 54C

NSO expressed AT III.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0;
Sia (independently selected) = Sia or Gal.

↓
1. Sialidase and α-galactosidase
2. Galactosyltransferase, UDP-Gal
3. CMP-SA-PEG, ST3Gal3

a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1;
v-y (independently selected) = 1,
when j-m (independently selected) is 1;
R = PEG.

FIG. 54D

CHO, BHK, 293 cells, Vero or
transgenic animal expressed AT III.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.

↓
1. Sialidase
2. CMP-SA-PEG (16 mol eq),
ST3Gal3
3. CMP-SA, ST3Gal3

a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1;
v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 54E

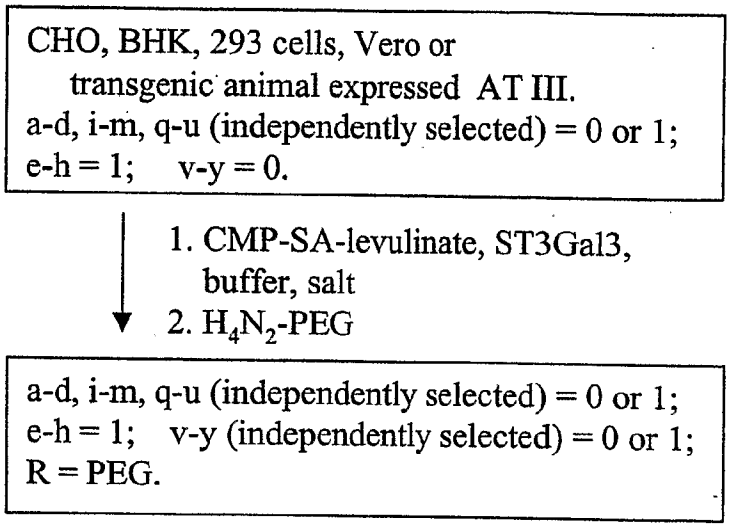


FIG. 54F

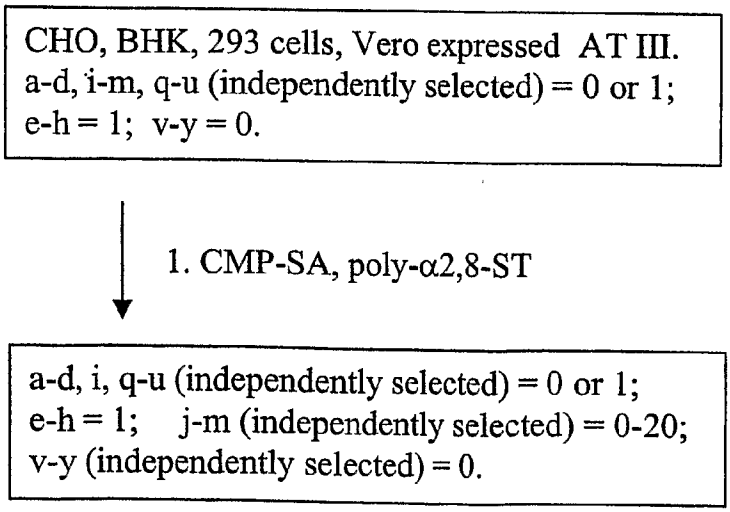
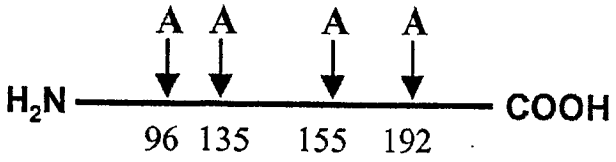
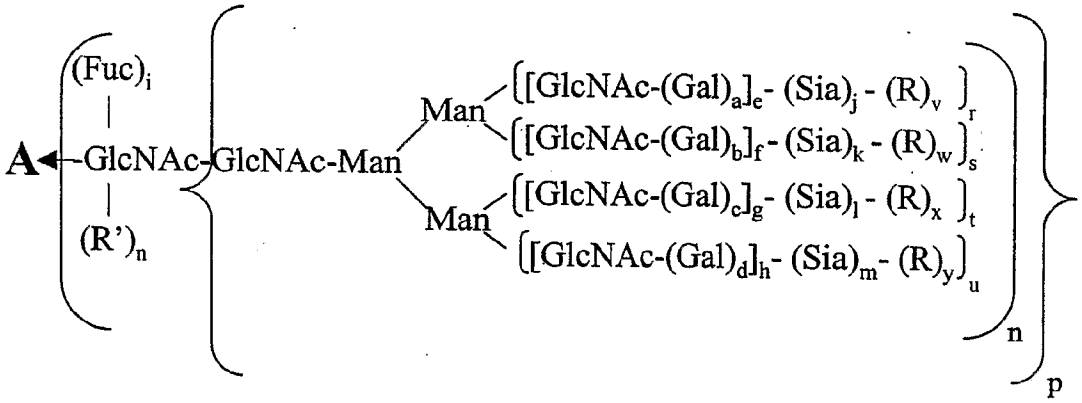


FIG. 54G





a-d, i, p-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 6.
j-m (independently selected) = 0 to 100.
v-y = 0 to 100.
R = polymer, linker, mannose.
R' = H, sugar, glycoconjugate.

FIG. 54H

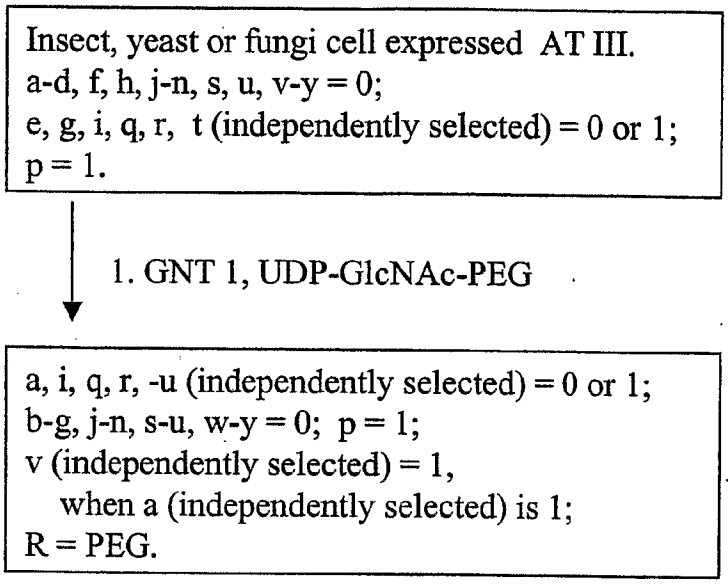


FIG. 54I

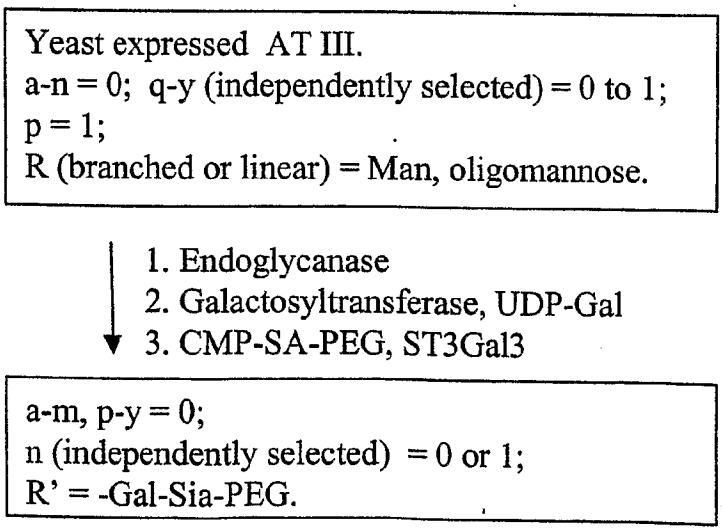


FIG. 54J

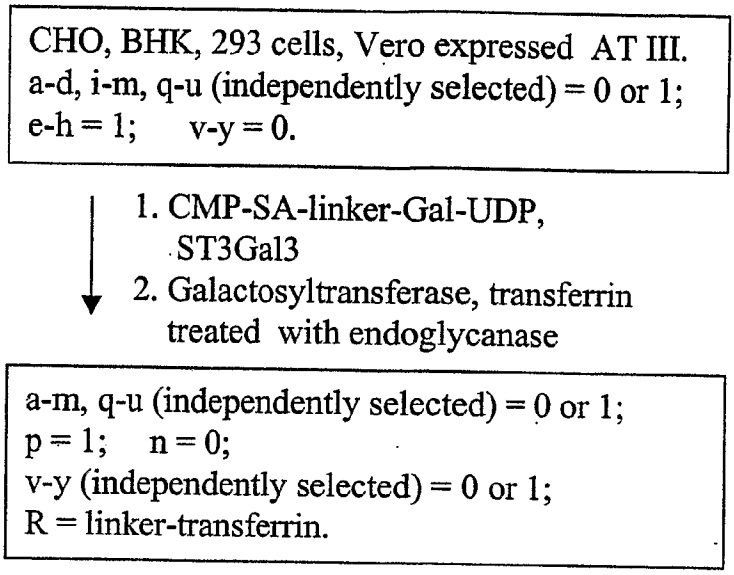
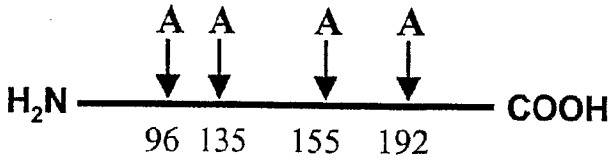
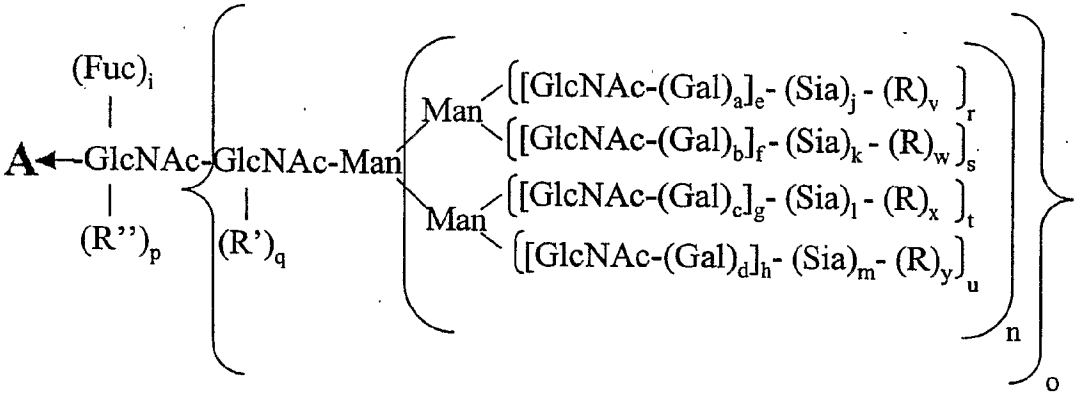

CHO, BHK, 293 cells, Vero expressed AT III.
a-d, i-m, q-u (independently selected) = 0 or 1;
e-h = 1; v-y = 0.
1. CMP-SA-linker-Gal-UDP, ST3Gal3
2. Galactosyltransferase, transferrin treated with endoglycanase
a-m, q-u (independently selected) = 0 or 1;
p = 1; n = 0;
v-y (independently selected) = 0 or 1;
R = linker-transferrin.

FIG. 54K





a-d, i, n-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 to 20.
R = polymer.
R', R" (independently selected) = sugar, glycoconjugate.

FIG. 54L

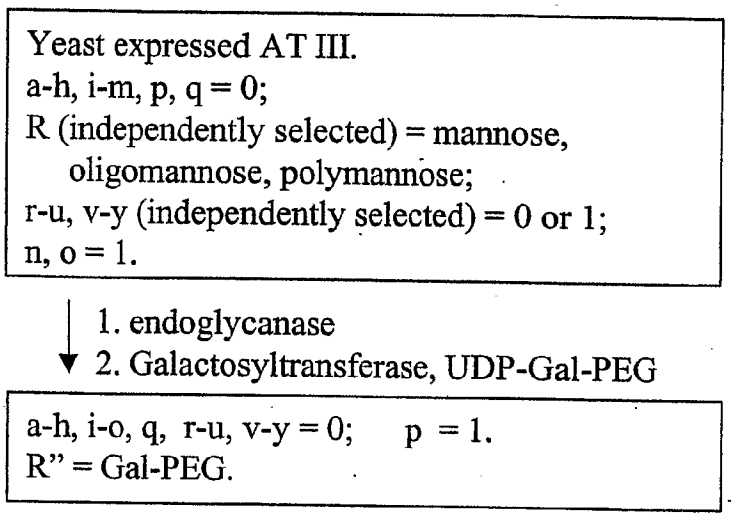


FIG. 54M

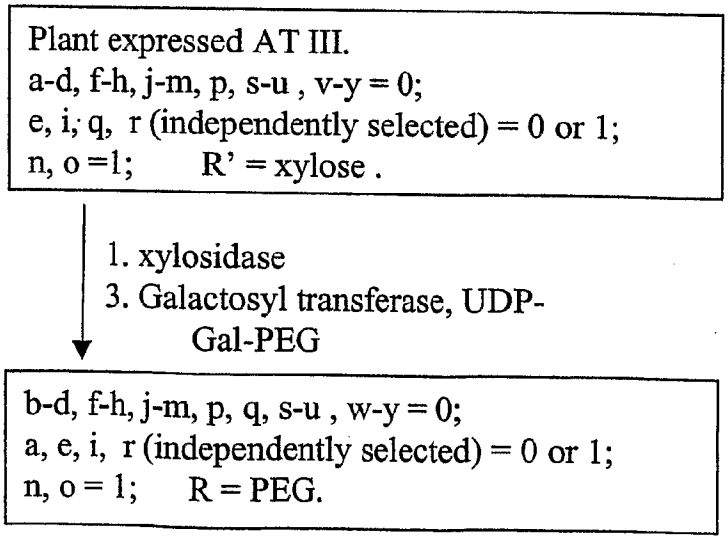


FIG. 54N

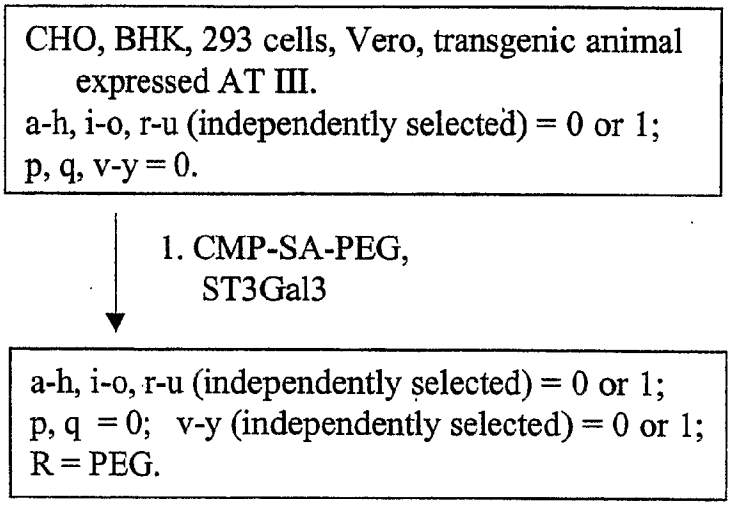
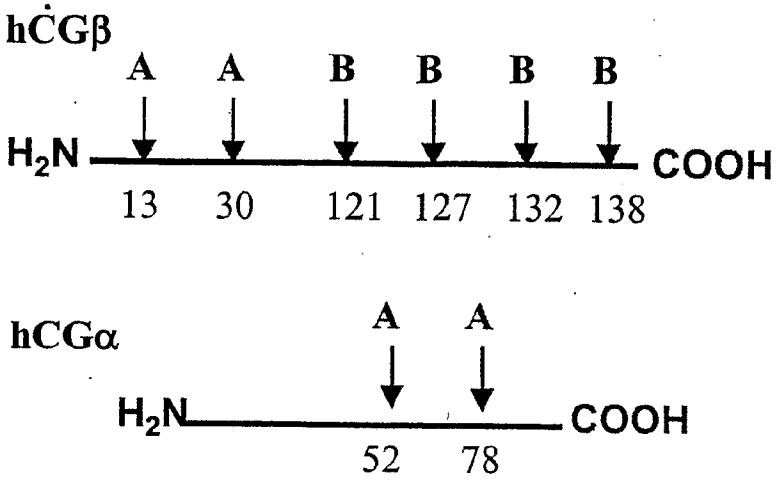
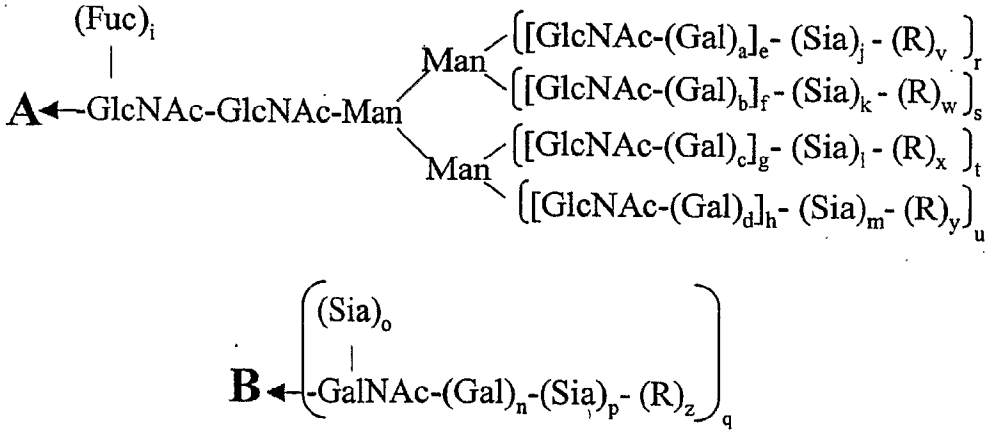

CHO, BHK, 293 cells, Vero, transgenic animal expressed AT III.
a-h, i-o, r-u (independently selected) = 0 or 1;
p, q, v-y = 0.
1. CMP-SA-PEG, ST3Gal3
a-h, i-o, r-u (independently selected) = 0 or 1;
p, q = 0; v-y (independently selected) = 0 or 1;
R = PEG.

FIG. 540





a-d, i, n-u (independently selected) = 0 or 1.
e-h (independently selected) = 0 to 4.
j-m (independently selected) = 0 to 20.
v-z = 0; R = polymer

FIG. 55A